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NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

ENERGY, INSPEC

Jan 29 Simultaneous left and right truncation added to COMPENDEX,

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TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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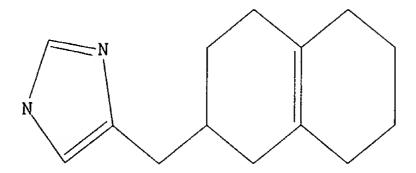
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Experimental and calculated property data are now available. PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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9 ANSWERS

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47.3% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

BATCH **COMPLETE**

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L2 9 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 07:02:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 41513 TO ITERATE

100.0% PROCESSED 41513 ITERATIONS 417 ANSWERS

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L4 33 L3

=> d ibib abs hitstr 1-33

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L4 ANSWER 1 OF 33
ACCESSION NUMBER: 2002:754359 CAPLUS
DOCUMENT NUMBER: 137:263032
TITLE: Preparation of imidazoles as selective agonists at alpha.2B or .alpha.2B, alpha.2C adrenergic receptors
INVENTOR(S): Chow, Ken; Gil, Daniel W.; Burke, James A.; Harcourt, Dale A.; Garst, Michael E.; Wheeler, Larry A.; Munk, Stephen A.; Gomez, Dario G.

PATENT ASSIGNEE(S): Allergan, Inc., USA
PCT Int. Appl., 141 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002076950 A2 20021003 WO 2002-US8222 20020313

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, 1S, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, CM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003023098 A1 20030130 US 2001-815362 A 20010321

PRIORITY APPLN. INFO:

US 2999-329752 B2 19981204

US 1999-329752 B2 19981204

OTHER SOURCE(S): MARPAT 137:263032

AB Compds. (shown as I), which are selective agonists at .alpha.2B or .alpha.2B/.alpha.2C adrenergic receptors and useful for the treatment of conditions including pain, particularly chronic pain, glaucoma or elevated intraocular pressure with reduced cardiovascular or sedative side effects, are claimed. Also included are methods of making and using such compds. In I, each x is independently 1 or 2; each R1 is independently H; halogen; C1-4 alkyl; C1-4 alkenyl; C1-4 alkynyl; -COR4 where R4 is H, C1-4 alkyl or C1-4 alkoxy; C3-6 cycloalkyl; aryl; heteroaryl; cyano; nitro; trihalomethyl; oxo; or -(CH2)n-X-(CH2)m-(R5)o where X is O, S or N, n is O-3, m is O-3, o is O-1, and R5 is He or H1-2. Each R2 and each R3 are

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
226571-36-4P, 1H-Imidazole, 4-{(1,2,3,4-tetrahydro-7-methyl-2naphthalenyl)methyl}-, monohydrochloride 226571-37-5P,
1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methylRL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazoles as selective agonists at .alpha.2b or .alpha.2b/.alpha.2c adrenergic receptors)
157058-44-1 CAPLUS

TN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)

RN 157058-52-1 CAPLUS
CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

RN 157058-55-4 CAPLUS
CN 1H-Imidazole, 4-{(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl}
(9CI) (CA INDEX NAME)

RN 226570-89-4 CAPLUS
CN 1H-Imidazole, 4-{(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 226571-02-4 CAPLUS

ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) independently H; halogen; C1-4 alkyl; C1-4 alkenyl; C1-4 alkynyl; -COR4 where R4 is H; C1-4 alkyl or C1-4 alkoxy; C3-6 cycloalkyl; aryl; heteroaryl; cyano; nitro; trihalomethyl; oxo; or -(CH2)n-X-(CH2)m-(R5)o where X is O, S or N, n is O-3, m is O-3, o is O-1, and R5 is Me or H1-2; or an R2 and an R3 together condense to form a satd., partly satd., or unsatd. ring structure having the formula -[C(R6)p]q-Xs-[C(R6)p]r-Xt-[C(R6)p]u where each R6 is independently H; halogen; C1-4 alkyl; C1-4 alkenyl; C1-4 alkynyl; -COR4 where R4 is H, C1-4 alkyl or C1-4 alkoxy; C3-6 cycloalkyl; aryl; heteroaryl; cyano; nitro; trihalomethyl and oxo where each p is independently 1 or 2, q is O-5, r is O-5, u is O-5. Each X is independently O, S, or N and s is O or 1; provided that q + r + u + s + t < 6. Y is O; S; N; -[C(R7)z]s-, where each R7 is independently as previously defined for R1, each z is independently 1-2, and s is 1-3; -CH:; -CH:CH-; or YICH2, where Y1 is O, N, or S; and the dotted lines in I are optional double bonds, with the proviso that if the ring including Y is a cyclohexane ring or a heterocyclic 5 member ring said ring is not fully unsatd., and that if Y is O, N or S, the ring including Y contains at least one said double bond. Intrinsic activities towards .alpha.2A, .alpha.2B, .alpha.2C adrenergic receptors of .apprx.100 of the claimed compds, relative to brimonidine/oxymetazoline are tabulated. Although the methods of prepn. are not claimed, .apprx.100 example prepns. are included.

RN 157058-47-4 CAPLUS CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

IT 157058-44-1P, 1{2H}-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4ylmethyl) - 157058-52-1P, 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2naphthalenyl)methyl] - 157058-55-4P, 1H-Imidazole,
4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl] 226570-89-4P, 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2naphthalenyl)methyl] -, monohydrochloride 226571-02-4P,
1(2H)-Naphthalenone, 3,4,5,6,7,8-hexahydro-2-(lH-imidazol-4-ylmethyl) 226571-05-7P, 1H-Imidazole, 4-[(1,2,3,4,5,6,7,8-octahydro-2naphthalenyl)methyl] - 226571-13-7P, 1H-Imidazole,
4-[((2S)-1,2,3,4-tetrahydro-2-naphthalenyl)methyl] - 226571-14-8P,
1H-Imidazole, 4-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl)methyl}-226571-25-1P, 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-4-methyl-2-naphthalenyl)methyl] - 226571-26-2P, 1(2H)-Naphthalenone,
3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-4-methyl-2-naphthalenyl)methyl]-

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1(2H)-Naphthalenone, 3,4,5,6,7,8-hexahydro-2-(1H-imidazol-4-ylmethyl)(9CI) (CA INDEX NAME)

RN 226571-05-7 CAPLUS
CN 1H-Imidazole, 4-{(1,2,3,4,5,6,7,8-octahydro-2-naphthalenyl)methyl]- (9CI)
(CA INDEX NAME)

RN 226571-13-7 CAPLUS
CN 1H-Imidazole, 4-[[(25)-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 226571-14-8 CAPLUS
CN 1H-Imidazole, 4-{[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry

RN 226571-25-1 CAPLUS
CN 1H-Imidazole, 4-{(1,2,3,4-tetrahydro-4-methyl-2-naphthalenyl)methyl}(9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-26-2 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-4-methyl-(9CI) (CA INDEX NAME)

226571-35-3 CAPLUS IH-Imidazole, 4-[(1,2,3,4-tetrahydro-4,4-dimethyl-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

226571-36-4 CAPLUS 1H-Imidazole, 4-{(1,2,3,4-tetrahydro-7-methyl-2-naphthalenyl)methyl}-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

226571-37-5 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methyl-(9CI) (CA INDEX NAME)

ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:576071 CAPLUS

DOCUMENT NUMBER: 137:262610 TITLE:

Highly Enantioselective Reformatskii Reaction of Ketones: Chelation-Assisted Enantioface Discrimination AUTHOR(S): Ojida, Akio; Yamano, Toru; Taya, Naohiro; Tasaka,

Akihiro

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Takeda

Chemical Industries, Ltd., Osaka, 532-8686, Japan SOURCE: Organic Letters (2002), 4(18), 3051-3054

CODEN: ORLEF7: ISSN: 1523-7060

PUBLI SHER: American Chemical Society

DOCUMENT TYPE:

LANGUAGE: English
AB Highly enantioselective Reformatskii reaction of ketones was accomplished using cinchona alkaloids as chiral ligands. Chelation with the sp2-nitrogen adjacent to the reactive carbonyl center contributed to the enantioface discrimination for the high enantioselectivities.

RL: RCT (Reactant); RACT (Reactant or reagent)

(chelation-assisted enantioface discrimination in asym. Reformatskii reactions)

463304-60-1 CAPLUS

Methanone, 2-naphthalenyl[1-(triphenylmethyl)-lH-imidazol-4-yl]- (9CI) (CA INDEX NAME)

IT 463304-61-2P 463304-63-4P 463304-73-6P 463304-74-7P

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (chelation-assisted enantioface discrimination in asym. Reformatskii

463304-61-2 CAPLUS

1H-Imidazole-4-propanoic acid, .beta.-hydroxy-.beta.-2-naphthalenyl-1-(triphenylmethyl) -, 1,1-dimethylethyl ester, (.beta.S) - (9CI) (CA INDEX

Absolute stereochemistry. Rotation (+).

463304-63-4 CAPLUS

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 226571-57-9P, 1-Naphthalenol, 1,2,3,4-tetrahydro-2-(lH-imidazol-4ylmethyl)-7-methoxy-RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT

(Reactant or reagent) (prepn. of imidazoles as selective agonists at .alpha.2b or

.alpha.2b/.alpha.2c adrenergic receptors) 226571-57-9 CAPLUS

1-Naphthalenol, 1,2,3,4-tetrahydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

2-Oxazolidinone, 3-[(3S)-3-hydroxy-3-(1H-imidazol-4-yl)-3-(2-naphthalenyl)-1-oxopropyl)-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1,3-Propanediol, 1-(2-naphthalenyl)-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

463304-74-7 CAPLUS

2-Oxazolidinone, 3-{(3S)-3-hydroxy-3-(2-naphthalenyl)-1-oxo-3-[1-(triphenylmethyl)-1H-imidazol-4-yl)propyl]-4-phenyl-, (4S)- (9CI) (CA

Absolute stereochemistry.

463304-62-39 ΙT

RL: SPN (Synthetic preparation); PREP (Preparation) (chelation-assisted enantioface discrimination in asym. Reformatskii

reactions

1,3-Propanediol, 1-(1H-imidazol-4-yl)-1-(2-naphthalenyl)-, (1S)- (9CI)

9815362Page 7 02/03/2003

L4 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (prepn. of 7-aryldihydropyrrolo[1,2-c]imidazol-7-ols and analogs as steroid 17-20-lyase inhibitors)

426219-47-8 CAPLUS

2-Naphthalenecarboxamide, N,N-bis(1-methylethyl)-6-[[1-(triphenylmethyl)-1H-imidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

426219-55-8 CAPLUS

lH-Imidazole-4-propanoic acid, .beta.-[6-[[bis(1methylethyl)amino]carbonyl]-2-naphthalenyl]-.beta.-hydroxy-1-(triphenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

426219-56-9 CAPLUS

2-Naphthalenecarboxamide, 6-[1,3-dihydroxy-1-(1-(triphenylmethyl)-lH-CN imidazol-4-yl)propyl]-N,N-bis(l-methylethyl)- (9CI) (CA INDEX NAME)

426219-58-1 CAPLUS

IH-Imidazole-4-propanoic acid, .beta.-[6-[[bis(1methylethyl)amino]carbonyl]-2-naphthalenyl]-.beta.-hydroxy-1(triphenylmethyl)-, 1,1-dimethylethyl ester, (.beta.S)- (9CI) (CA INDEX

Absolute Stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:391718 CAPLUS

DOCUMENT NUMBER:

Preparation of 7-aryldihydropyrrolo[1,2-c]imidazol-7-ols and analogs as steroid 17-20-lyase inhibitors Tasaka, Akihiro; Hitaka, Takenori; Matsunaga, INVENTOR(S):

Nobuyuki: Kusaka, Masami: Adachi, Mari: Aoki, Isao:

Ojida, Akio

Takeda Chemical Industries, Ltd., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 92 pp. CODEN: PIXXD2 SOURCE:

Patent

DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO. DATE										
									-										
WO	2002040484			A2		20020523			WO 2001-JP10002 20011116										
WO	2002040484			A3		20020926													
	٧:	AE,	AG,	AL,	AM,	AT,	AU,	λZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GH,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	ΚŻ,	ĸ,	LK,	LR,	LS,		
		LT,	LU,	LV,	ΗA,	MD,	MG,	MK,	MN,	₩,	ΜX,	ΜZ,	NO,	NZ,	OM,	PH,	PL,		
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TH,	TR,	TT,	TZ,	UA,	UG,		
		US,	UZ,	٧N,	YU,	ZA,	ZM,	ŹΨ,	AM,	AZ,	BY,	ΧG,	ΚZ,	MD,	RU,	ŦJ,	TM		
	RV:	GH,	GH,	ΚĔ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,		
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
		BF,	ΒJ,	CF,	CG,	CI,	CH,	GΑ,	GN,	.GQ,	G₩,	MŁ,	MR,	ΝE,	SN,	TD,	TG		
AU 2002014296 A5 20020527									AU 2002-14296 20011116										
PRIORITY APPLN. INFO.:								•	JP 2000-351780					A 20001117					
									JP 2	001-	2476	10	A	2001	0817				
									JP 21	001-1	33688	90	A :	2001	1101				

WO 2001-JP10002 W 20011116 OTHER SOURCE(S): MARPAT 136:386117

Title compds. {I; $R = \{un\}$ substituted aryl; $Z = \{CH2\}1-3\}$ were prepd. Thus, 1-trityl-1H-imidazole-4-carboxaldehyde was condensed with MeCO2Et in the presence of BuLi and the product converted in 2 steps to HOCHR1CH2CHO (R1 = 1-trityl-1H-imidazole-4-yl) which was cyclized to give 5,6-dihydro-7H-pytrolo[1,2-c]imidazol-7-one. The latter was arylated by 5-methoxybenzo[b]thiophene to give I [R = 5-methoxybenzo[b]thiophen-2-yl, Z = CH2]. Data for biol. activity of I were given. 426219-47-8P 426219-55-8P 426219-56-9P 426219-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS

9815362Page 8 02/03/2003

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:391704 CAPLUS

DOCUMENT NUMBER: 136:401756

Preparation of imidazole derivatives for treatment of TITLE:

prostate and breast Cancer Tasaka, Akihiro: Matsunaga, Nobuyuki: Ojida, Akio: INVENTOR(S):

Kusaka, Masami

Takeda Chemical Industries, Ltd., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 81 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE wo 2001-JP10079 20011119 WO 2002040470 A1 20020523 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TK, TT, 12, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002014320 A5 20020527 AU 2002-14320 20011119

JP 20002241377 A2 20020828 JP 2001-353524 20011119 JP 2000-353634 A 20001120 JP 2000-382056 A 20001215 WO 2001-JP10079 W 20011119 PRIORITY APPLN. INFO .:

MARPAT 136:401756 OTHER SOURCE(S):

The title compds., e.g. I [R is hydrogen or a protecting group; R1 is lower alkyl or cycloalkyl; and ring A is an optionally substituted 5- or 6-membered ring having an amide linkage], are prepd. I are steroid C17-20 lyase inhibitors and are useful in the treatment of prostate and breast cancer. The process for prepg. I is disclosed. 7-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-1,2-dihydro-3H-benzo[e]isoindol-3-one inhibited the biosynthesis of testosterone in rats. Formulations are

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

430472-34-7 CAPLUS 3H-Benz[e]isoindol-3-one, 2-ethyl-1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-

4-yl)-2-methylpropyl}- (9CI) (CA INDEX NAME)

3H-Benz{e}isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-y1)-2-methylpropyl]-2-propyl- (9CI) (CA INDEX NAME)

430472-38-1 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-yl)-2-CN

methylpropyl) -2-(1-methylethyl) - (9CI) (CA INDEX NAME)

430472-39-2 CAPLUS

3H-Benz[e]isoindol-3-one, 2-cyclopropyl-1,2-dihydro-7-[1-hydroxy+1-(1H-

imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 430472-50-7P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

(prepn. of imidazole derivs. for treatment of prostate and breast

430472-50-7 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-2-methyl-1-[1-CN (triphenylmethyl)-1H-imidazol-4-yl)propyl]-2-methyl- (9CI) (CA INDEX

430472-30-3P 430472-32-5P 430472-34-7P 430472-36-9P 430472-38-1P 430472-39-2P 430472-40-5P 430472-41-6P 430472-42-7P

430472-43-8P 430472-44-9P 430472-45-0P

430472-46-1P 430472-47-2P 430472-48-3P 430472-49-4P 430472-51-8P 430472-52-9P

430472-53-0P

RL: IMF (Industrial manufacture): PAC (Pharmacological activity): SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazole derivs. for treatment of prostate and breast

cancer) 430472-30-3 CAPLUS

3H-Benz(e)isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-y1)-2methylpropyl) - (9CI) (CA INDEX NAME)

430472-32-5 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

430472-40-5 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

430472-41-6 CAPLUS

3H-Benz[e]isoindol-3-one, 2-(dimethylamino)-1,2-dihydro-7-[1-hydroxy-1-(lHimidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

430472-42-7 CAPLUS

Benzo[f]phthalazin-4(1H)-one, 2,3-dihydro-8-[1-hydroxy-1-(1H-imidazol-4y1)-2-methylpropy1]- (9CI) (CA INDEX NAME)

430472-43-8 CAPLUS

Benzo[f]phthalazin-4(3H)-one, 8-[1-hydroxy-1-(1H-imidazol-4-y1)-2methylpropyl] - (9CI) (CA INDEX NAME)

9815362Page 9 02/03/2003

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 430472-44-9 CAPLUS
CN 1H-Benz[f]isoindol-1-one, 2,3-dihydro-6-(1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

RN 430472-45-0 CAPLUS
CN 1H-Benz[f]isoindol-1-one, 2,3-dihydro-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 430472-46-1 CAPLUS
CN Benzo[g]phthalazin-1(2H)-one, 3,4-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-y1)-2-methylpropy1]- (9CI) (CA INDEX NAME)

RN 430472-47-2 CAPLUS
CN Benzo[g]phthalazin-1(2H)-one, 7-(1-hydroxy-1-(1H-imidazol-4-y1)-2-

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 430472-52-9 CAPLUS
CN 1H-Imidazole-1-carboxylic acid, 4-[1-(2,3-dihydro-2-methyl-3-oxo-1H-benz[e]isoindol-7-yl)-1-hydroxy-2-methylpropyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

RN 430472-53-0 CAPLUS
CN 3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-y1)propy1]-2-methyl- (9CI) (CA INDEX NAME)

IT 247173-85-9 247174-16-9 337520-93-1
337521-09-2 337521-12-7 337521-14-9
337521-16-1 337521-18-3 337521-22-9
337521-24-1 337521-26-3 337521-83-2
430472-54-1 430472-55-2 430472-56-3
430472-57-4 430472-58-5 430472-59-6
430472-60-9 430472-61-0 430472-62-1
430472-63-2 430472-64-3 430472-69-8
430472-70-1 430472-71-2 430472-73-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of imidazole derivs. for treatment of prepn.

(prepr. of imidazole derivs. for treatment of prostate and breast cancer)
247173-85-9 CAPLUS

N 247173-85-9 CAPLUS
N lH-Imidazole-4-methanol, .alpha.-(6-hydroxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-i-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) methylpropyl] - (9CI) (CA INDEX NAME)

RN 430472-48-3 CAPLUS
CN 3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-yl)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 430472-49-4 CAPLUS
CN 3H-Benz(e)isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 430472-51-8 CAPLUS
CN 3H-Benz(e)isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-(1H-imidazol-4-y1)-2methylpropyl)-2-methyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247174-16-9 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy
]-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-[triphenylmethyl)- (9CI) (CA

RN 337520-93-1 CAPLUS

CN Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl)propyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

RN 337521-09-2 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-methyl-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)-(9CI) (CA INDEX NAME)

RN 337521-12-7 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-(6-hydroxy-5-methyl-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

9815362Page 10 02/03/2003

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-14-9 CAPLUS Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]-1-methyl-2-naphthalenyl ester (9C1) (CA INDEX NAME)

337521-16-1 CAPLUS 2-Naphthalenecarboxylic acid, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

337521-18-3 CAPLUS H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-methyl-2-naphthalenyl]-.alpha.-[1-methylethyl]-1-[triphenylmethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{t-Bu-Si-O} \\ \end{array} \begin{array}{c} \text{OH} \\ \text{OH} \\ \end{array}$$

337521-22-9 CAPLUS

lH-Imidazole-4-methanol, .alpha.-(6-hydroxy-7-methyl-2-naphthalenyl)-

ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (triphenylmethyl)-1H-imidazol-4-yl[propyl]-, methyl ester (9CI) (CA INDEX

430472-55-2 CAPLUS 2-Naphthalenecarboxylic acid, 3-(bromomethyl)-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]-, methyl ester (9CI) (CA INDEX

430472-56-3 CAPLUS

Methanone, [6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-methyl-2naphthalenyl] (1-(triphenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX

430472-57-4 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimathyleilyl]oxy]-5-methyl-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

430472-58-5 CAPLUS

Methanone, (6-hydroxy-5-methyl-2-naphthalenyl)[1-(triphenylmethyl)-1Himidazol-4-yl]- (9CI) (CA INDEX NAME)

(Continued) ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS .alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337521-24-1 CAPLUS Methanesulfonic acid, trifluoro-, 6-(1-hydroxy-2-methyl-1-(1-(triphenylmethyl)-1H-imidazol-4-yl)propyl)-3-methyl-2-naphthalenyl ester (9CI) (CA INDEX NAME)

337521-26-3 CAPLUS 2-Naphthalenecarboxylic acid, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

337521-83-2 CAPLUS 2-Naphthalenecarboxylic acid, 6-{1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-, methyl ester (9CI) (CA INDEX NAME)

430472-54-1 CAPLUS

2-Naphthalenecarboxylic acid, 1-(bromomethyl)-6-[1-hydroxy-2-methyl-1-[1-

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS

430472-59-6 CAPLUS

Methanesulfonic acid, trifluoro-, 1-methyl-6-[[1-(triphenylmethyl)-lH-imidazoi-4-yl]carbonyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

430472-60-9 CAPLUS

2-Naphthalenecarboxylic acid, 1-methyl-6-[{1-(triphenylmethyl)-1H-imidazol-4-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

430472-61-0 CAPLUS

2-Naphthalenecarboxylic acid, 1-(bromomethyl)-6-([1-(triphenylmethyl)-1Himidazol-4-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

430472-62-1 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-2-methyl-7-[(1-(triphenylmethyl)-1H-

imidazol-4-yl]carbonyl)- (9CI) (CA INDEX NAME)

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ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

430472-63-2 CAPLUS

2-Naphthalenecarboxamide, 6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-N, N-bis(l-methylethyl)- (9CI) (CA INDEX NAME)

430472-64-3 CAPLUS

2-Naphthalenecarboxamide, 1-formy1-6-[1-hydroxy-2-methy1-1-[1-(triphenylmethy1)-1H-imidazo1-4-y1]propy1]-N,N-bis(1-methylethy1)- (9CI)

430472-69-8 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl) - 1H-imidazol-4-yl]propyl] - (9CI) (CA INDEX NAME)

430472-70-1 CAPLUS

ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:353314 CAPLUS

DOCUMENT NUMBER: 136:365878 TITLE:

Methods and compositions for treatment of ocular neovascularization and neural injury

INVENTOR(5): Burke, James A.; Lin, Ton; Wheeler, Larry A.; De

Vries, Gerald W. PATENT ASSIGNEE (S):

Allergan Sales, Inc., USA PCT Int. Appl., 31 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 2002036162 A2 20020510 W0 2001-US46014 20011101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
2002030567 A5 20020518 AU 2002-30567 20011101
APPLN. INFO:

WO 2001-US46014 20011101

WO 2001-US46014 20011101 WO 2001-US46014 20011101 WO 2002036162 A2 20020510 AU 2002030567 US 2002094998 US 2000-244850P P 20001101 WO 2001-US46014 W 20011101 PRIORITY APPLN. INFO.:

Methods and compns. for the treatment of ocular neovascularization (CNV) and macular degeneration are disclosed. The invention includes combining laser treatment with administration of a neuroprotectant. Seven pigmented rabbits were dosed with either 0.5 mL 0.2% brimonidine or saline administered in 1 eye of each rabbit. One hour later, the animals were treated with a 10-min i.v. infusion of $0.2\ \text{mg/kg}$ verteporfin, then the same eye was irradiated 10 min later in the lower fundus with a 689-nm diode laser at 50 J/cm2, 600 mW/cm2 and a spot size of 1.5 mm. Brimonidine reduced the increase in retinal thickness (subretinal cyst + retina) in the lesion produced by PDT.

226571-05-7, AGN 795 423773-40-4, AGN 960

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and compns. for treatment of ocular neovascularization and

neural injury) 226571-05-7 CAPLUS

1H-Imidazole, 4-[{1,2,3,4,5,6,7,8-octahydro-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

CN

1(2H)-Naphthalenone, 2-[(2,3-dihydro-2-thioxo-1H-imidazol-4-yl)methyl]-3,4dihydro- (9CI) (CA INDEX NAME)

ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]ethyl)-2-methyl- (9CI) (CA INDEX NAME)

430472-71-2 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lHimidazol-4-yl]propyl]-1-[(methylamino)methyl]-N, N-bis(1-methylethyl)-(9CI) (CA INDEX NAME)

430472-73-4 CAPLUS

3H-Benz[e]isoindol-3-one, 1,2-dihydro-7-[1-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

9815362Page 12 02/03/2003

ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS 2001:848687 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

136:146981

Investigations on inhibitors of human 17.alpha.-hydroxylase-17,20-lyase and their interactions with the enzyme. Molecular modelling of 17.alpha.-hydroxylase-17,20-lyase, part II

AUTHOR (S): CORPORATE SOURCE:

TITLE:

Schappach, A.; Holtje, H.-D. Department of Pharmacy, Institute of Pharmaceutical Chemistry, Heinrich Heine-University, Dusseldorf,

Germany

SOURCE: Pharmazie (2001), 56(11), 835-842 CODEN: PHARAT: ISSN: 0031-7144

PUBLI SHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

New methods in treatment of hormone-dependent diseases like prostate or breast cancer have become a major subject in medical and pharmaceutical research. Because of the direct correlation of cancer growth and hormone concn., inhibition of hormone biosynthesis presents a promising strategy in cancer therapy. The key enzyme in androgen biosynthesis is the 17.alpha.-hydroxylase-17,20-lyase a cytochrome P 450 system, which specifically converts gestagens to androgens. Because the 3D-structure of the enzyme is still unknown most recently a ligand-based design was used to gain deeper insights into protein structure and function. In this paper we present mol. modeling studies on compds. acting as competitive inhibitors of the human 17.alpha.-hydroxylase-17,20-lyase. The compds. developed by Hartmann et al. belong to two different structural classes and show a wide range of inhibitory potency. The physico-chem. properties of the mols. were investigated and compared by studying structural flexibility and by calcg. mol. interactions fields. The superimposition of all inhibitors in a low energy conformation yielded in the common pharmacophore. In the second part of the paper individual inhibitors were docked into the active site of the enzyme model of CYP17 developed in our group. The dynamic behavior and stability of the protein-inhibitor-complexes was studied. The protein ligand interactions obsd. in course of the mol. dynamics simulations correspond well with the exptl. data. 157058-47-4

RL: PRP (Properties) (mol. modeling of human 17.alpha.-hydroxylase-17,20-lyase with

steroidal and non-steroidal inhibitors)

157058-47-4 CAPLUS

1(2H) -Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS 19 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) isomer. The optically active isomer produced has a steroid C17,20 lyase inhibitory activity and is useful as a preventive/remedy for tumors such as prostatism and mammary cancer. Also provided is a novel optical resolver II or III. Thus, 1.0 g (RS)-1-(6,7-dimethoxynaphthalen-2-yl)-1-(1H-imidazol-4-yl)-2-methyl-1-propanol (IV) (prepn. given) and 822 mg (-)-8-hydroxy-7,9-dioxa-6-phenyl-8-phopshaspiro[4.5]decan-8-one (V) were dissolved in 21 mL ethanol with heating, stirred at room temp. for 6 h, and filtered to give 670 mg (-)-IV.V salt (99% de) in 74% yield which (66% mg) was added to 150 mg 25% aq. NH3, 30 mL H2O, and 20 mL AcOEt, and stirred at room temp. for 30 min. The org. layer was sepd. and concd. in vacuo to give 368 mg (-)-IV (99% de) in 74% yield. 336102-55-7P 336102-62-6P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(process for producing optically active anticancer naphthalene deriv. and hydroxyphenyldicxaphosphorinanone resolving agents)

336102-55-7 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1methylethyl) -, (.alpha.S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

336102-62-6 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1methylethyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

247174-39-6 336102-65-9 336102-70-6

336102-73-9

RL: RCT (Reactant); RACT (Reactant or reacent)

(process for producing optically active anticancer naphthalene deriv.

and hydroxyphenyldioxaphosphorinanone resolving agents)

247174-39-6 CAPLUS 1H-Imidazole-4-methanol, .alpha.-[6-[(diphenylmethylene)amino]-2naphthalenyl] -.alpha. -(1-methylethyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:319877 CAPLUS

134:340525 DOCUMENT NUMBER:

Process for producing optically active naphthalene derivative and optical resolver therefor TITLE:

Aoki, Isao: Adachi, Mari: Kawada, Mitsuru: Yamano, INVENTOR(S):

Toru: Taya, Naohiro

Takeda Chemical Industries, Ltd., Japan PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 103 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000-JP7282 20001019 20010503 WO 2001030763 Al W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, XW: GH, GH, KE, LS, MW, MZ, SD, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
2000079499 A5 20010508 AU 2000-79499 20001019
1227085 A1 20020731 EP 2000-969902 20001019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
200187785 A2 20010710 JP 2000-720409 20001030 AU 2000079499 EP 1227085 JP 2001187785 A2 20010710 JP 2000-320499 20001020 JP 1999-301570 A 19991022 JP 1999-301576 A 19991022 WO 2000-JP7282 W 20001019 PRIORITY APPLN. INFO.:

MARPAT 134:340525 OTHER SOURCE(S):

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A process for producing an optically active isomer of a compd. represented by formula (I) which comprises: reacting a mixt. of naphthalene derivs. represented by formula I (wherein R represents a nitrogenous heterocyclic group: R1 represents hydrogen, a hydrocarbon group, or a mononuclear arom. heterocyclic group: R2 represents hydrogen or lower alkyl: symbol indicates the position of an asym. carbon atom; and R3 to R8 each represents hydrogen, a hydrocarbon group, hydroxy, etc., provided that R7 may be bonded to R6 or R8 to form a ring contg. an oxygen atom) with an optically active isomer of a 2-hydroxy-4-phenyl-1,3,2-dioxaphosphorinan-2one or arom. ring-fused 2-hydroxy-1,3,2-dioxaphosphepan-2-one compd. represented by formula (II) or (III), resp. (wherein ring A represents a benzene ring; R10 and R11 each represents hydrogen, a hydrocarbon group, etc. or R10 and R11 in combination represent alkylene; symbol indicates the position of an asym. carbon atom; and rings B and C each represents an arom. ring) to yield salts; sepg. the salts; and then isolating the target

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

2-Naphthalenecarboxylic acid, 6-{1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

336102-70-6 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-N-methyl- (9CI) (CA INDEX NAME)

336102-73-9 CAPLUS

2-Naphthalenecarboxamide, 6-{1-hydroxy-1-(1H-imidazol-4-yl)propyl}-Nmethyl- (9CI) (CA INDEX NAME)

247173-05-3P 247173-20-2P 247173-40-6P 247173-41-7P 247173-54-2P 247173-70-2P 247173-71-3P 247173-72-4P 247174-10-3P 247174-11-4P 247174-12-5P 247174-40-9P 247174-41-0P 247174-69-2P 336102-57-9P 336102-59-1P 336102-61-5P 336102-63-7P 336102-64-8P 336102-66-0P 336102-67-1P 336102-69-3P 336102-71-7P 336102-72-8P 336102-74-0P 336102-75-1P 336102-76-2P

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L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 337534-07-3P 337534-08-4P 337534-10-8P

337534-11-92

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for producing optically active anticancer naphthalene deriv. and hydroxyphenyldioxaphosphorinanone resolving agents)

247173-05-3 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1methylethyl) - (9CI) (CA INDEX NAME)

247173-20-2 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-propyl-(9CI) (CA INDEX NAME)

1H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1methylethyl) - (9CI) (CA INDEX NAME)

247173-54-2 CAPLUS

Acetamide, N-[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS

1H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)+ (9CI) (CA INDEX NAME)

247174-12-5 CAPLUS

Methanone, (6,7-dimethoxy-2-naphthalenyl)-lH-imidazol-4-yl- (9CI) (CA INDEX NAME)

247174-40-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-amino-2-naphthalenyl)-.alpha.-(1methylethyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

247174-41-0 CAPLUS

Acetamide, N-[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS naphthalenyl] - (9CI) (CA INDEX NAME) (Continued)

247173-70-2 CAPLUS RN

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247173-71-3 CAPLUS

Methanone, (6-methoxy-2-naphthalenyl)[1-(triphenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

247173-72-4 CAPLUS

Methanone, 1H-imidazol-4-yl(6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX ÇN

247174-10-3 CAPLUS

RN CN Methanone, (6-ethoxy-2-naphthalenyl)[1-(triphenylmethyl)-lH-imidazol-4-yl]-(9CI) (CA INDEX NAME)

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS 247174-69-2 CAPLUS (Continued)

1H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

336102-57-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1methylethyl)-, (.alpha.S)-, compd. with (-)-8-hydroxy-6-phenyl-7,9-dioxa-8-phosphaspiro[4.5]decame 8-oxide (1:1) (9CI) (CA INDEX NAME)

Rotation (-).

CRN 336102-56-8

CMF C13 H17 O4 P

CM 2

CRN 336102-55-7

CMF C19 H22 N2 O3

Absolute stereochemistry. Rotation (-).

336102-59-1 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-.alpha.-(1methylethyl)-, (-)-, compd. with (4S)-4-(2,4-dichlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-58-0

CMF C19 H22 N2 O2

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) Rotation (-).

CRN 98674-91-0 CMF C11 H13 C12 04 P

Absolute stereochemistry. Rotation (-).

336102-61-5 CAPLUS

Acetamide, N-[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]-, (+)-, compd. with (+)-2-hydroxy-4-(2-methoxyphenyl)-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-60-4

CMF C19 H21 N3 O2

Rotation (+).

CH 2

CRN 98674-82-9 CMF C12 H17 05 P

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) methylethyl)-, (-)-, compd. with (4S)-2-hydroxy-4-(2-methoxyphenyl)-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-62-6 CMF C18 H20 N2 O2

Rotation (-).

C14 2

CRN 98674-83-0 CMF C12 H17 O5 P

Absolute stereochemistry. Rotation (-).

336102-66-0 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-, methyl ester, compd. with (4R)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-65-9

CMF C20 H22 N2 Q3

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry. Rotation (+).

336102-63-7 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-, (-)-, compd. with (-)-4-(4-chlorophenyl)-2-hydroxy-5.5-

dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH

CRN 336102-62-6 CMF C18 H20 N2 O2

Rotation (-).

CM 2

CRN 98674-89-6 CMF C11 H14 C1 O4 P

Rotation (-).

336102-64-8 CAPLUS

lH-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1-

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 98674-87-4 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (+).

336102-67-1 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-, methyl ester, compd. with (+)-4-(2,4-dichlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-65-9 CMF C20 H22 N2 O3

CH 2

CRN 98674-90-9 CMF C11 H13 C12 O4 P

Absolute stereochemistry. Rotation (+).

336102-69-3 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]-, methyl ester, compd. with (45)-4-(2-chlorophenyl)-2-

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L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA

CM 1

CRN 336102-68-2 CMF C19 H20 N2 O3

CM 2

CRN 98674-86-3 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (-).

RN 336102-71-7 CAPLUS

CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-N-methyl-, compd. with (4R)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 336102-70-6 CMF C20 H23 N3 O2

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 336102-74-0 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(lH-imidazol-4-yl)propyl]-N-methyl-, compd. with (+)-4-(2,4-dichlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH.

CRN 336102-73-9 CMF C18 H19 N3 O2

CH 2

CRN 98674-90-9 CMF C11 H13 C12 O4 P

Absolute stereochemistry. Rotation (+).

RN 336102-75-1 CAPLUS

RN 336102-75-1 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)propyl]-N-methyl-, compd. with (4R)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 336102-73-9

CMF C18 H19 N3 O2

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 98674-87-4 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (+).

N 336102-72-8 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-y1)-3-methylbutyl]-N-methyl-, compd. with (-)-4-(2,4-dichlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 336102-70-6 CMF C20 H23 N3 O2

CH 2

CRN 98674-91-0 CMF C11 H13 C12 O4 P

Absolute stereochemistry. Rotation (-).

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 98674-87-4 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (+).

RN 336102-76-2 CAPLUS

CN 2-Naphthalenecarboxamide, 6-{1-hydroxy-1-(1H-imidazol-4-yl)propyl}-N-methyl-, compd. with (+)-2-hydroxy-4-(2-methoxyphenyl)-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 336102-73-9 CMF C18 H19 N3 O2

CH 2

CRN 98674-82-9 CMF C12 H17 O5 P

Absolute stereochemistry. Rotation (+).

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337534-07-3 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-, (-)-, compd. with (11bR)-4-hydroxydinaphtho[2,1-d:1',2'-f][1,3,2]dioxaphosphepin 4-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-55-7 CMF C19 H22 N2 O3

Absolute stereochemistry. Rotation (-).

CH 2

CRN 39648-67-4 CMF C20 H13 O4 P

337534-08-4 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1methylethyl)-, (-)-, compd. with (4R)-2-hydroxy-5,5-dimethyl-4-phenyl-

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 98674-86-3 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (-).

337534-11-9 CAPLUS

IH-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-, (-)-, compd. with (4S)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 336102-62-6

CMF C18 H20 N2 O2

Rotation (-).

CH 2

CRN 98674-86-3 CMF C11 H14 C1 04 P

Absolute stereochemistry. Rotation (-).

IT 336103-01-6P 336103-02-7P 336103-04-9P

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336102-55-7

Absolute stereochemistry. Rotation (-).

CH 2

CRN 98674-80-7

CMF C11 H15 04 P

Absolute stereochemistry. Rotation (-).

337534-10-8 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-propyl-, (-)-, compd. with (45)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 337534-09-5

CHF C18 H20 N2 O2

Rotation (-).

ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 336103-06-1P 337534-12-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(process for producing optically active anticancer naphthalene deriv.

and hydroxyphenyldioxaphosphorinanone resolving agents) 336103-01-6 CAPLUS

Cyclohexanecarboxylic acid, 2-(aminocarbonyl)-, (15,2R)-, compd. with .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1H-imidazole-4-methanol (1:1) (9CI) (CA INDEX NAME)

CRN 336103-00-5 CMF C8 H13 N 03

Absolute stereochemistry.

CH 2

CRN 247173-41-7 CMF C19 H22 N2 O3

336103-02-7 CAPLUS Benzeneacetic acid, .alpha.-hydroxy-, (.alpha.S)-, compd. with .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1H-imidazole-4-methanol (1:1) (9CI) (CA INDEX NAME)

CRN 247173-41-7 CHF C19 H22 N2 O3

CH 2

CRN 17199-29-0

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L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) CMF C8 H8 03

Absolute stereochemistry. Rotation (+).

336103-04-9 CAPLUS

2-Naphthalenecarboxamide, 6-[(15)-1-hydroxy-1-(1H-imidazol-4-yl)propyl]-Nmethyl-, compd. with (4R)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CRN 336103-03-8 CMF C18 H19 N3 O2

Absolute stereochemistry. Rotation (-).

СM

CRN 98674-87-4 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (+).

336103-06-1 CAPLUS

2-Naphthalenecarboxamide, 6-[(1S)-1-hydroxy-1-(1H-imidazol-4-y1)-3-methylbutyl]-N-methyl-, compd. with (4R)-4-(2-chlorophenyl)-2-hydroxy-5,5-dimethyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 50573-41-6 CMF C8 H11 N 03 S

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 336103-05-0 CMF C20 H23 N3 O2

Absolute stereochemistry.

2 CH

CRN 98674-87-4 CMF C11 H14 C1 O4 P

Absolute stereochemistry. Rotation (+).

337534-12-0 CAPLUS Sulfamic acid, [(1S)-1-phenylethyl]-, compd. with .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1H-imidazole-4-methanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 247173-41-7 CMF C19 H22 N2 O3

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:319876 CAPLUS

134:340505 TITLE:

Preparation of imidazol-4-ylmethanols as steroid C17-20 lyase inhibitors Tasaka, Akihiro; Ojida, Akio; Kaku, Tomohiro; Kusaka, INVENTOR (S):

Masami: Yamaoka, Masuo

Takeda Chemical Industries, Ltd., Japan PCT Int. Appl., 166 pp. CODEN: FIXXD2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English l FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE

WO 2001030762 A1 20010503 WO 2000-JP7283 20001019

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1222174 A1 20020717 EP 2000-969903 20001019

R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2002080458 A2 20020319 JP 2000-327022 20001020

PRIORITY APPLN. INFO.: JP 1999-301556 A 19991022

JP 1999-301556 A 19991022

JP 2000-189728 A 20000620 JP 2000-327022 20001020 JP 1999-301556 A 19991022 JP 2000-189728 A 20000620 WO 2000-JP7283 W 20001019 OTHER SOURCE(S):

MARPAT 134:340505

AB Title compds. (I) [wherein R = H or a protecting group: R1 = (cyclo)alkyl:

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
R3 and R5 = H, acyl, halo, or (un) substituted alkyl, hydroxyl, thio, or amino; R4 = (un) substituted aryl, heterocyclic, or carbamoyl; or R3 and R4 form a 5- or 6-membered O-contg. ring; or R4 and R5 form a 5- or 6-membered O-contg. ring; or R4 and R5 form a 5- or 6-membered O-contg. ring; R6 = (halo) alkyl; n = 0-3; or salt thereof), which have an inhibitory activity on steroid C17-20 lyase, were prepd. For example, Me 6-(1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl) propyl)-2-naphthoate (prepn. given) was deesterified using NaOH and MeOH in THF, converted to the amide using MeNH2, and deprotected using pyridinium chloride to give the imidazolyl naphthalenemethanol II. II inhibited steroid C17-20 lyase with IC50 of 6.1 nM and showed inhibitory activity on testosterone biosynthesis (testosterone concn. of groups of rats receiving test compds. to control groups) of 4.5%. I are useful for the prevention and treatment of breast cancer or prostate cancer (no data).

247173-41-7P, 1-(6,7-Dimethoxy-2-naphthyl)-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 247173-54-2P, N-(6-{1-Hydroxy-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 247173-54-2P, N-(6-{1-Hydroxy-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 247173-54-2P, RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of imidazolyi naphthalenemethanol steroid C17-20 lyase inhibitors for treatment of breast and prostate cancer)

RN 247173-41-7 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-54-2 CAPLUS
CN Acetamide, N-[6-[1-hydroxy-1-{1H-imidazol-4-yl}-2-methylpropyl]-2naphthalenyl]- (9CI) (CA INDEX NAME)

247173-85-9P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2-naphthol 247174-16-9P, 1-(6-tert-Butyldimethylsilyloxy-2-naphthyl)-2-methyl-1-(1-trityl-1H-imidazol-4-yl)-1-propanol 247174-38-5P, 1-(6-Bromonaphthalen-2-yl)-2-methyl-1-(1-trityl-1H-imidazol-4-yl)-1-propanol 247174-39-6P, 1-[6-{(Diphenylmethylene)aminojnaphthalen-2-yl)-2-methyl-1-(1-trityl-1H-imidazol-4-yl)-1-propanol 247174-41-0P, N-[6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]naphthalen-2-yl]acetamide 336103-03-8P, (5)-(-)-6-[1-Hydroxy-1-(1H-imidazol-4-yl)propyl]-N-methyl-2-naphthamide 337520-93-1P 337520-95-3P 337520-97-5P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl}-2-naphthonitrile 337520-97-7P 337521-03-6P,

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
337522-19-7P, (1,2-Dihydronaphtho[2,1-b]furan-7-yl) (1H-imidazol-4-yl)ketone 337522-26-6P, (2,3-Dihydronaphtho[2,3-b]furan-6-yl) (1H-imidazol-4-yl)methanol 337522-27-7P, (2,3-Dihydronaphtho[2,3-b]furan-6-yl) (1H-imidazol-4-yl)ketone 337522-28-8P, 1-(2,3-Dihydronaphtho[2,3-b]furan-6-yl)-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 337522-29-9P, 1-(1-Benzyloxymethyl-1H-imidazol-4-yl)-1-(6-7-dimethoxy-2-paphthyl)-2-methyl-1-propanol 337522-43-7P. (6,7-dimethoxy-2-naphthy1)-2-methy1-1-propanol 337522-43-79, N-Ethy1-6-[1-hydroxy-2-methy1-1-(1-trity1-1H-imidazol-4-y1)propy1]-2-naphthamide 337522-47-19, 6-[1-Hydroxy-2-methy1-1-(1-trity1-1Himidazol-4-yl)propyl]-N-propyl-2-naphthamide 337522-51-79,
6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-N-isopropyl-2-naphthamide 337522-55-19, N-Butyl-6-[1-hydroxy-2-methyl-1-(1trityl-1H-imidazol-4-yl)propyl]-2-naphthamide 337522-59-5P, N-Cyclopropyl-6-(1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2-naphthamide 337522-63-1P, N-Cyclobutyl-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2-naphthamide 337522-66-4P, N-Cyclopropylmethyl-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl}-2-naphthamide 337522-68-6P, N-Cyclopentyl-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl}-2-naphthamide hydroxy-2-methyl-1-(1-trityl-1H-inidazol-4-yl)propyl]-2-naphthamide
337522-70-0P, N-Cyclohexyl-6-[1-hydroxy-2-methyl-1-(1-trityl-1Himidazol-4-yl)propyl]-2-naphthamide
337522-73-3P,
N-Cycloheptyl-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2naphthamide
337522-75-5P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1Himidazol-4-yl)propyl]-2-naphthamide
337522-78-8P,
1-Chloro-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2naphthamide
337522-81-3P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1Himidazol-4-yl)propyl]-1-methyl-2-naphthamide
337522-85-7P imidazol-4-yl)propyl}-1-methyl-2-naphthamide 337522-85-7P,
1-Chloro-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-N-methyl-2-naphthamide 337522-91-5P, 6-[1-Hydroxy-2-methyl-1-(1trityl-1H-imidazol-4-yl)propyl]-N,1-dimethyl-2-naphthamide
337522-96-0P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-N,3-dimethyl-2-naphthamide
337523-01-0P,
6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-3-m naphthamide 337523-04-3P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-N,N-dimethyl-2-naphthamide 337523-08-7P, 2-Methyl-1-[6-(1-pyrrolidinylcarbonyl)-2-naphthyl]-1-(1-trityl-1H-imidazol-4-yl)-1-propanol 337523-14-5P, 6-[1-Hydroxy-2-methyl-1-(1-trityllH-imidazol-4-yl)propyl]-N-(1,3-thiazol-2-yl)-2-naphthamide 337523-18-99, N-Ethoxy-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2-naphthamide 337523-22-59, 6-[1-Hydroxy-2-methyl-1-(1-trityl-lH-imidazol-4-yl)propyl]-N-isopropoxy-2naphthamide 337523-25-8P, N-(2-Hydroxyethyl)-6-[1-hydroxy-2methyl-1-(1-trityl-1H-imidazol-4-yl)propyl}-2-naphthamide 337523-29-29, Ethyl [[6-[1-hydroxy-2-methyl-1-(1-trityl-1Himidazol-4-yl)propyl}-2-naphthoyl]amino]acetate 337523-34-99 337523-37-29 337523-41-89, 6-[1-Hydroxy-1-(1-trityl-1Himidazol-4-yl)ethyl]-N-methyl-2-naphthamide 337523-43-0P, 6-[1-Hydroxy-1-(1-trity1-1H-imidazo1-4-y1)-2-propenyl]-N-methyl-2-naphthamide 337523-45-2P, 6-[1-Hydroxy-1-(1-trity1-1H-imidazo1-4yl)propyl}-N-methyl-2-naphthamide 337523-49-6P 337523-53-2P, 6-{1-Hydroxy-1-(1-trityl-1H-imidazol-4-yl)-3-butenyl]-N-methyl-2-naphthamide 337523-55-4P, (1-Hydroxy-1-(1-trityl-1H-imidazol-4-yl)butyl]-N-methyl-2-naphthamide 337523-59-8P, 6-[1-Hydroxy-3-methyl-1-(1-trityl-1H-imidazol-4-yl)butyl]-N-methyl-2-naphthamide 337523-69-0P, 1-Chloro-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2naphthonitrile 337523-71-4P, 1-[5-Chloro-6-[5-(trimethylsily1)-1H-1.2.3-triazol-4-v1)-2-naphthyl)-2-methyl-1-(1-trityl-1H-imidazol-4-v1)-1-propanol 337523-73-69, 1-[5-Chloro-6-(1H-1,2,3-triazol-4-yl)-2-

naphthyl]-2-methyl-1-(1-trityl-1H-imidazol-4-yl)-1-propanol

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 1-Chloro-6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2naphthol 337521-05-8P 337521-07-0P, Methyl l-chloro-6-[l-hydroxy-2-methyl-1-(l-trityl-lH-imidazol-4-yl)propyl]-2-naphthoate 337521-09-2P 337521-12-7P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-1-methyl-2naphthol 337521-14-99 337521-16-19, Methyl 6-{1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl}-1-methyl-2-naphthoate 337521-18-39, 1-(6-tert-Butyldimethylsilyloxy-7methyl-2-naphthyl)-2-methyl-1-(1-trityl-1H-imidazol-4-yl)-1-propanol
337521-22-9P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4yl)propyl]-3-methyl-2-naphthol 337521-24-1P 337521-26-3P
337521-28-5P, (6-tert-Butyldimethylsilyloxy-2-naphthyl)(1-trityllH-imidazol-4-yl)methanol 337521-31-OP, (6-tert-Butyldimethylailyloxy-2-naphthyl)(1-trityl-1H-imidazol-4-yl)methanone 337521-33-2P, (6-Hydroxy-2-naphthyl)(1-trityl-1H-imidazol-4yl)methanone 337521-35-4P 337521-37-6P, Methyl 6-((1-trityl-1H-imidazol-4-yl)carbonyl]-2-naphthoate 337521-39-8P, N-Methyl-6-((1-trityl-1H-imidazol-4-yl)carbonyl]-2-naphthamide 337521-47-8P 337521-51-4P, 6-(1-Hydroxy-3-methyl-1-(1-trityl-1H-imidazol-4-yl)butyl]-2-naphthol 337521-53-6P 337521-55-8P, Methyl 6-[1-hydroxy-3-methyl-1-(1-trityl-1H-imidazol-4-yl)butyl]-2-naphthoate 337521-57-0P, 6-(1-Hydroxy-1-(1Himidazol-4-yl)-2-methylpropyl]-2-methoxy-N-methyl-1-naphthamide 337521-58-1P 337521-60-5P, 2-Hydroxy-6-[1-hydroxy-1-[1H-imidazol-4-yl)-2-methylpropyl]-N-methyl-1-naphthamide 337521-61-6P nindazol-4-yl)-2-methylptpylj-1-methyl-1-liamidazol-4-yl)propyl]-N-methyl-1-naphthamide 337521-62-7P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-methyl-1-naphthamide 337521-63-8P 337521-64-9P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4y1)propy1)-N-methyl-1-naphthamide 337521-67-2P, 2-Methyl-1-(6-phenyl-2-naphthyl)-1-(1-trityl-1H-imidazol-4-yl)-1-propanol 337521-69-4P, 1-[6-(2-Furyl)-2-naphthyl)-2-methyl-1-(1-trityl-1H-imidazol-4-yl)-1-propanol 337521-72-9P, 2-Methyl-1-[6-(2thienyl) -2-naphthyl] -1-(1-trityl-1H-imidazol-4-yl) -1-propanol 337521-75-2P 337521-76-3P, 2-Methyl-1-[6-(1H-1,2,3-337521-75-29 337521-76-39, 2-Methyl-1-[6-(1H-1,2,3-triazol-4-yl)-2-naphthyl]-1-(1-trityl-1H-imidazol-4-yl)-1-propanol
337521-78-59, 2-Methyl-1-[6-(1H-1,2,3,4-tetrazol-5-yl)-2-naphthyl]1-(1-trityl-1H-imidazol-4-yl)-1-propanol 337521-80-9P
337521-82-19, 2-Methyl-1-[6-(1,3-oxazol-5-yl)-2-naphthyl]-1-(1trityl-1H-imidazol-4-yl)-1-propanol 337521-83-2P, Methyl 6-[1-hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-2-naphthoate 337521-85-4P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4-yl)propyl]-N-methyl-2-naphthamide 337521-88-7P, 6-[1-Hydroxy-2-methyl-1-(1-trityl-H-imidazol-4-yl)propyl]-N-methoxy-2-naphthamide 337521-92-3P, (Naphtho[2,1-b]furan-7-yl)(1-trityl-lH-imidazol-4-yl)methanol 337521-93-4P, (Naphtho[2,1-b]furan-7yl) (1-trityl-1H-imidazol-4-yl) ketone 337521-94-5P, (1H-Imidazol-4-yl) (naphtho[2,1-b] furan-7-yl) ketone 337521-99-0P, (1H-Imidazol-4-yl) (naphtho[2,3-d] [1,3] dioxol-6-yl] ketone (lH-Imidazol-4-yl) [aphtho[2,3-d] [1,3]dioxol-6-yl] ketone
337522-06-2P, (2,3-Dihydro-1H-benzo[f] chromen-8-yl) (l-trityl-1Himidazol-4-yl) methanol 337522-07-3P, (2,3-Dihydro-1Hbenzo[f] chromen-8-yl) (l-trityl-1H-imidazol-4-yl) ketone
337522-08-4P, (2,3-Dihydro-1H-benzo[f] chromen-8-yl) (lH-imidazol-4yl) ketone 337522-16-4P, (1,2-Dihydronaphtho[2,1-b] furan-7-yl) (ltrityl-1H-imidazol-4-yl) methanol 337522-18-6P,
{1,2-Dihydronaphtho[2,1-b] furan-7-yl) (l-trityl-1H-imidazol-4-yl) ketone

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
337523-78-1P, 1-Chloro-6-[1-hydroxy-2-methyl-1-(1-trityl-1Himidazol-4-yl)propyl}-2-naphthaldehyde 337523-80-5P,
1-[5-Chloro-6-(1,3-oxazol-5-yl)-2-naphthyl]-2-methyl-1-(1-trityl-1Himidazol-4-yl)-1-propanol 337523-84-9P, 6-[1-Hydroxy-3-methyl-1(1-trityl-1H-imidazol-4-yl)butyl]-2-naphthamide 337523-88-3P,
6-[1-Hydroxy-3-methyl-1-(1-trityl-1H-imidazol-4-yl)butyl]-2-naphthonitrile
337523-90-7P, 3-Methyl-1-[6-5-(trimethylsilyl)-1H-1, 2, 3-triazol-4yl]-2-naphthyl]-1-(1-trityl-1H-imidazol-4-yl)-1-butanol
337523-92-9P, 3-Methyl-1-[6-(1H-1, 2, 3-triazol-4-yl)-2-naphthyl]-1(1-trityl-1H-imidazol-4-yl)-1-butanol 337523-96-3P,
6-[1-Hydroxy-3-methyl-1-(1-trityl-1H-imidazol-4-yl)butyl]-2-naphthyl]-1-(1trityl-1H-imidazol-4-yl)-1-butanol 337523-96-3P,
1-[6-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-5-yl)-2-naphthyl]-1-(1trityl-1H-imidazol-4-yl)-1-propanol 337524-02-4P,
1-[6-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-2-naphthyl}-2-methyl-1-(1trityl-1H-imidazol-4-yl)-1-propanol 337534-08-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of imidazolyl naphthalenemethanol steroid C17-20

lyase inhibitors for treatment of breast and prostate cancer)
247173-85-9 CAPLUS
1H-Imidazole-4-methanol, .alpha.-(6-hydroxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 247174-16-9 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-naphthalenyl]-.alpha.-(1-methylethyl)+1-(triphenylmethyl)- (9CI) (CA

N 247174-38-5 CAPLUS
N 1H-Imidazole-4-methanol, .alpha.-(6-bromo-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

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ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247174-39-6 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[(diphenylmethylene)amino]-2naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA
INDEX NAME)

247174-41-0 CAPLUS

Acetamide, N-(6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

336103-03-8 CAPLUS

2-Naphthalenecarboxamide, 6-{(15)-1-hydroxy-1-(1H-imidazol-4-yl)propyl}-Nmethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

337520-93-1 CAPLUS

Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl ester (9CI) (CA

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-05-8 CAPLUS

Methanesulfonic acid, trifluoro-, 1-chloro-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl ester (9CI) (CA

337521-07-0 CAPLUS

2-Naphthalenecarboxylic acid, 1-chloro-6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl)propyl]-, methyl ester (9CI) (CA INDEX

337521-09-2 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy }-5-methyl-2-naphthalenyl}-.alpha.-(1-methylethyl)-1-(triphenylmethyl)-(9CI) (CA INDEX NAME)

337521-12-7 CAPLUS

lH-Imidazole-4-methanol, .alpha.-(6-hydroxy-5-methyl-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337520-95-3 CAPLUS

2-Naphthalenecarbonitrile, 6-[1-hydroxy-2-methyl-1-[1-{triphenylmethyl}-1H-imidazol-4-yl]propyl}- (9CI) (CA INDEX NAME) CN

337520-97-5 CAPLUS

2-Naphthalenecarbonitrile, 6-{1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl] - (9CI) (CA INDEX NAME)

337521-03-6 CAPLUS

IH-Imidazole-4-methanol, .alpha.-(5-chloro-6-hydroxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-14-9 CAPLUS

Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-1-methyl-2-naphthalenyl ester (9CI) (CA INDEX NAME)

337521-16-1 CAPLUS

2-Naphthalenecarboxylic acid, 6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl}-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN CN 337521-18-3 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-methyl-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)-(9CI) (CA INDEX NAME)

337521-22-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-hydroxy-7-methyl-2-naphthalenyl).alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-24-1 CAPLUS

Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-2-methyl-1-(1-(triphenylmethyl)-1H-imidazol-4-yl)propyl]-3-methyl-2-naphthalenyl ester

337521-26-3 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

337521-28-5 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-naphthalenyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337521-31-0 CAPLUS

Methanone, [6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-naphthalenyl][1-(triphenylmethyl)-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-47-8 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-naphthalenyl]-.alpha.-(2-methylpropyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

HH-Imidazole-4-methanol, .alpha.-(6-hydroxy-2-naphthalenyl)-.alpha.-(2-methylpropyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337521-53-6 CAPLUS

Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-3-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]-2-naphthalenyl ester (9CI) (CA

337521-55-8 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-3-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]butyl}-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-33-2 CAPLUS

Methanone, (6-hydroxy-2-naphthalenyl)[1-(triphenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME) CN

337521-35-4 CAPLUS

Methanesulfonic acid, trifluoro-, 6-[[1-(triphenylmethyl)-1H-imidazol-4-yl]carbonyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

337521-37-6 CAPLUS

2-Naphthalenecarboxylic acid, 6-{{l-(triphenylmethyl)-lH-imidazol-4-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

2-Naphthalenecarboxamide, N-methyl-6-[[1-(triphenylmethyl)-lH-imidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-57-0 CAPLUS
1-Naphthalenecarboxamide, 6-{1-hydroxy-1-(1H-imidazol-4-y1)-2methylpropyl]-2-methoxy-N-methyl- (9CI) (CA INDEX NAME)

337521-58-1 CAPLUS

1-Naphthalenecarboxamide, 6-{1-hydroxy-2-methyl-1-{1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-methoxy-N-methyl- (9CI) (CA INDEX NAME)

337521-60-5 CAPLUS

1-Naphthalenecarboxamide, 2-hydroxy-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-methyl- (9CI) (CA INDEX NAME)

337521-61-6 CAPLUS

1-Naphthalenecarboxamide, 2-hydroxy-6-[1-hydroxy-2-methyl-1-[1-

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ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (triphenylmethyl)-lH-imidazol-4-yl)propyl]-N-methyl- (9CI) (CA INDEX

337521-62-7 CAPLUS

1-Naphthalenecarboxamide, 6-(1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-methyl- (9CI) (CA INDEX NAME) CN

337521-63-8 CAPLUS

Methanesulfonic acid, trifluoro-, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]-1-[(methylamino)carbonyl]-2-naphthalenyl ester (9CI) (CA

337521-64-9 CAPLUS

1-Naphthalenecarboxamide, 6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-76-3 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(1H-1,2,3triazol-4-yl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337521-78-5 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(1H-tetrazol-5yl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337521-80-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-1-(triphenylmethyl)-.alpha.-[6-[1-(triphenylmethyl)-lH-pyrazol-4-yl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

337521-82-1 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-(5-oxazolyl)-2naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-67-2 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-phenyl-2naphthalenyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337521-69-4 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-(2-furanyl)-2-naphthalenyl]-.alpha.-(1methylethyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

337521-72-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-(2-thienyl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME) CN

337521-75-2 CAPLUS

HH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-[5-(trimethylsilyl)-1H-1,2,3-triazol-4-yl]-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-83-2 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-, methyl ester (9CI) (CA INDEX NAME)

337521-85-4 CAPLUS

2-Naphthalenecarboxamide, 6-(1-hydroxy-2-methyl-1-{1-(triphenylmethyl)-1H-imidazol-4-yl]propyl}-N-methyl- (9CI) (CA INDEX NAME)

337521-88-7 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-N-methoxy- (9CI) (CA INDEX NAME)

337521-92-3 CAPLUS

lH-Imidazole-4-methanol, .alpha.-naphtho(2,1-b)furan-7-yl-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337521-93-4 CAPLUS
CN Hethanone, naphtho(2,1-b)furan-7-yl[1-(triphenylmethyl)-lH-imidazol-4-yl](9CI) (CA INDEX NAME)

RN 337521-94-5 CAPLUS
CN Methanone, 1H-imidazol-4-ylnaphtho[2,1-b]furan-7-yl- (9CI) (CA INDEX

RN 337521-99-0 CAPLUS
CN Hethanone, 1H-imidazol-4-ylnaphtho[2,3-d]-1,3-dioxol-6-yl- (9CI) (CA INDEX NAME)

RN 337522-06-2 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(2,3-dihydro-1H-naphtho[2,1-b]pyran-8-yl)1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337522-19-7 CAPLUS
CN Methanone, (1,2-dihydronaphtho[2,1-b]furan-7-yl)-1H-imidazol-4-yl- (9CI)
(CA INDEX NAME)

RN 337522-26-6 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-{2,3-dihydronaphtho{2,3-b}furan-6-yl}(9CI) (CA INDEX NAME)

RN 337522-27-7 CAPLUS
CN Methanone, (2,3-dihydronaphtho[2,3-b]furan-6-yl)-lH-imidazol-4-yl- (9CI)
(CA INDEX NAME)

RN 337522-28-8 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.~(2,3-dihydronaphtho[2,3-b]furan-6-yl).alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337522-07-3 CAPLUS
CN Methanone, (2,3-dihydro-lH-naphtho(2,1-b)pyran-8-yl)[1-(triphenylmethyl)+1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 337522-08-4 CAPLUS
CN Methanone, (2,3-dihydro-1H-naphtho[2,1-b]pyran-8-y1)-1H-imidazol-4-y1(9CI) (CA INDEX NAME)

RN 337522-16-4 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1,2-dihydronaphtho[2,1-b]furan-7-yl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 337522-18-6 CAPLUS
CN Methanone, (1,2-dihydronaphtho[2,1-b]furan-7-yl)[1-(triphenylmethyl)-1Himidazo1-4-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337522-29-9 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)

RN 337522-43-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-ethyl-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl}- (9CI) (CA INDEX NAME)

RN 337522-47-1 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazo1-4-yl]propyl}-N-propyl- (9CI) (CA INDEX NAME)

RN 337522-51-7 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 337522-55-1 CAPLUS
CN 2-Naphthalenecarboxamide, N-butyl-6-{1-hydroxy-2-methyl-1-[1-{triphenylmethyl}-1H-imidazol-4-yl}propyl]- {9CI} (CA INDEX NAME)

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ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337522-59-5 CAPLUS

2-Naphthalenecarboxamide, N-cyclopropyl-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

337522-63-1 CAPLUS

2-Naphthalenecarboxamide, N-cyclobuty1-6-[1-hydroxy-2-methy1-1-[1-(triphenylmethy1)-1H-imidazol-4-y1]propy1]- (9CI) (CA INDEX NAME)

2-Naphthalenecarboxamide, N-(cyclopropylmethyl)-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl}- (9CI) (CA INDEX NAME)

337522-68-6 CAPLUS

2-Naphthalenecarboxamide, N-cyclopentyl-6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl}propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-1-methyl- (9CI) (CA INDEX NAME)

337522-85-7 CAPLUS

2-Naphthalenecarboxamide, 1-chloro-6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl}-N-methyl- (9CI) (CA INDEX

337522-91-5 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl}-N,l-dimethyl- (9CI) (CA INDEX NAME)

337522-96-0 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS

337522-70-0 CAPLUS

2-Naphthalenecarboxamide, N-cyclohexyl-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

337522-73-3 CAPLUS

2-Naphthalenecarboxamide, N-cycloheptyl-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME) CN

337522-75-5 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

337522-78-8 CAPLUS

2-Naphthalenecarboxamide, 1-chloro-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337523-01-0 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-3-methyl- (9CI) (CA INDEX NAME)

2-Naphthalenecarboxamide, 6-{1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

337523-08-7 CAPLUS

Pyrrolidine, 1-[[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

337523-14-5 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

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ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337523-18-9 CAPLUS 2-Naphthalenecarboxamide, N-ethoxy-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

337523-22-5 CAPLUS 2-Naphthalenecarboxamide, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl)propyl)-N-(1-methylethoxy)- (9CI) (CA INDEX NAME)

2-Naphthalenecarboxamide, N-(2-hydroxyethyl)-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Glycine, N-{[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337523-43-0 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]-2-propenyl]-N-methyl- (9CI) (CA INDEX NAME)

337523-45-2 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

337523-49-6 CAPLUS

2-Naphthalenecarboxamide, 6-[cyclopropylhydroxy[1-(triphenylmethyl)-lH-imidazol-4-yl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

337523-53-2 CAPLUS
2-Naphthalenecarboxamide, 6-[1-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]-3-butenyl)-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

$$\begin{array}{c|c} & i - Pr \\ \hline & c \\ \hline & OH \\ \hline \end{array}$$

337523-34-9 CAPLUS L-Alamine, N-[[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

337523-37-2 CAPLUS D-Alanine, N-{[6-[1-hydroxy-2-methyl-1-{1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

337523-41-8 CAPLUS 2-Naphthalenecarboxamide, 6-(1-hydroxy-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337523-55-4 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]-N-methyl- (9CI) (CA INDEX NAME)

337523-59-8 CAPLUS
2-Naphthalenecarboxamide, 6-[1-hydroxy-3-methyl-1-[1-(triphenylmethyl)-1Himidazol-4-yl]butyl]-N-methyl- (9CI) (CA INDEX NAME)

337523-69-0 CAPLUS 2-Naphthalenecarbonitrile, 1-chloro-6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl)- (9CI) (CA INDEX NAME)

337523-71-4 CAPLUS 1H-Imidazole-4-methanol, .alpha.-[5-chloro-6-[5-(trimethylsilyl)-1H-1,2,3-triazol-4-yl]-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)-(9CI) (CA INDEX NAME)

337523-73-6 CAPLUS 1H-Imidazole-4-methanol, .alpha.-[5-chloro-6-(1H-1,2,3-triazol-4-yl)-2-

9815362Page 25 02/03/2003

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337523-78-1 CAPLUS

2-Naphthalenecarboxaldehyde, 1-chloro-6-{1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl)propyl]- (9CI) (CA INDEX NAME)

337523-80-5 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[5-chloro-6-(5-oxazolyl)-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337523-84-9 CAPLUS

2-Naphthalenecarboxamide, 6-{1-hydroxy-3-methyl-1-[1-{triphenylmethyl}-1H-imidazol-4-yl]butyl]- (9CI) (CA INDEX NAME)

337523-88-3 CAPLUS

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS 2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337524-02-4 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA

337534-08-4 CAPLUS

HH-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-, (-)-, compd. with (4R)-2-hydroxy-5,5-dimethyl-4-phenyl-1,3,2-dioxaphosphorinane 2-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 336102-55-7 CMF C19 H22 N2 O3

Absolute stereochemistry. Rotation (-).

CH 2

98674-80-7 CRN CMF C11 H15 04 P

Absolute stereochemistry. Rotation (-).

(Continued) ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS 2-Naphthalenecarbonitrile, 6-[1-hydroxy-3-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]- (9CI) (CA INDEX NAME)

337523-90-7 CAPLUS

H-Imidazole-4-methanol, .alpha.-(2-methylpropyl)-.alpha.-[6-[5-(trimethylsilyl)-1H-1,2,3-triazol-4-yl]-2-naphthalenyl]-1+(triphenylmethyl)- (9CI) (CA INDEX NAME)

337523-92-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(2-methylpropyl)-.alpha.-[6-(1H-1,2,3-triazol-4-yl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

337523-96-3 CAPLUS 2-Naphthalenecarboxaldehyde, 6-{1-hydroxy-3-methyl-1-(1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]- (9CI) (CA INDEX NAME)

337523-98-5 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(2-methylpropyl)-.alpha.-[6-(5-oxazolyl)-

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337521-96-7P, 1-(1H-Imidazol-4-yl)-1-(naphtho[2,3-d)[1,3]dioxol-6yl]-2-methyl-1-propanol 337522-45-99, 6-[1-Hydroxy-1-(1Hyl]-2-methyl-1-propanol 337522-45-99, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-propyl-2-naphthamide 337522-94-89, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N, 3-dimethyl-2-naphthamide 337523-27-09, Ethyl {[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthoyl]amino]acetate 337523-39-49, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)ethyl]-N-methyl-2-naphthamide 337523-51-09, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)butyl)-N-methyl-2-naphthamide 337523-67-89, 1-{5-Chloro-6-(1H-1,2,3-triazol-4-yl)-2-naphthyl-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 2-naphthyl)-1-(lH-imidazol-4-yl)-2-methyl-1-propanol RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of imidazolyl naphthalenemethanol steroid C17-20 lyase

inhibitors for treatment of breast and prostate cancer)

337521-96-7 CAPLUS

H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-naphtho[2,3-d]-1,3-dioxol-6-yl- (9CI) (CA INDEX NAME)

337522-45-9 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-CN methylpropyl]-N-propyl- (9CI) (CA INDEX NAME)

337522-94-8 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337523-27-0 CAPLUS
CN Glycine, N-[(6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl)-2-naphthalenyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 337523-39-4 CAPLUS
CN 2-Naphthalenecarboxamide, 6-(1-hydroxy-1-(1H-imidazol-4-yl)ethyl]-N-methyl(9CI) (CA INDEX NAME)

RN 337523-51-0 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)butyl]-N-methyl(9CI) (CA INDEX NAME)

RN 337523-67-8 CAPLUS
CN lH-Imidazole-4-methanol, .alpha.-[5-chloro-6-(1H-1,2,3-triazol-4-yl)-2-naphthalenyl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylpropyl]-2-naphthamide 337522-79-9P, 6-[1-Hydroxy-1-(1Himidazol-4-yl)-2-methylpropyl]-1-methyl-2-naphthamide 337522-83-5P
, 1-Chloro-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-methyl-2naphthamide 337522-88-0P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]-N,1-dimethyl-2-naphthamide 337522-99-3P,
6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-3-methyl-2-naphthamide
337523-03-2P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]N,N-dimethyl-2-naphthamide 337523-06-5P, 1-(1H-Imidazol-4-yl)-2methyl-1-[6-(1-pyrrolidinylcarbonyl)-2-naphthyl]-1-propanol
337523-11-2P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N(1,3-thiazol-2-yl)-2-naphthamide 337523-16-7P,
N-Ethoxy-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide (Continued) N-Ethoxy-6-(1-hydroxy-1-(1H-imidazol-4-y1)-2-methylpropy1]-2-naphthamide 337523-20-3P, 6-[1-Hydroxy-1-(1H-imidazo1-4-y1)-2-methylpropy1]-N-isopropoxy-2-naphthamide 337523-24-7P, N-(2-Hydroxyethyl)-6-[1hydroxy-1-(lH-imidazol-4-yl)-2-methylpropyl)-2-naphthamide 337523-32-7P 337523-36-1P 337523-47-4P 337523-61-2P, (S)-(-)-6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]-N-methyl-2-naphthamide 337523-63-4P,

(S)-(-)-N-Ethyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337523-65-6P,

(S)-(-)-N-Cyclopropyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337523-76-9P, 1-(5-Chloro-6-(1,3-oxazol-5-yl)-2-naphthyl]-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 337523-82-7P, 6-{1-Hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-2-naphthamide 337523-86-1P, 1-(1H-Imidazol-4-yl)-3methyl-1-[6-(1H-1,2,3-triazol-4-yl)-2-naphthyl]-1-butanol
337523-94-1P, 1-(1H-Imidazol-4-yl)-3-methyl-1-[6-(1,3-oxazol-5-yl)-2-naphthyl]-1-butanol 337524-00-2P, 1-[6-(4,4-Dimethyl-4,5dihydro-1,3-oxazol-2-yl)-2-naphthyl]-1-(lH-imidazol-4-yl)-2-methyl-1-propanol 337524-05-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of imidazolyl naphthalenemethanol steroid C17-20 lyase inhibitors for treatment of breast and prostate cancer) 336102-55-7 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-

Absolute stereochemistry. Rotation (-).

RN 336102-68-2 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-{1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl}-, methyl ester (9CI) (CA INDEX NAME)

methylethyl) -, (.alpha.S) - (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 336102-55-7P, (S)-(-)-1-(6,7-Dimethoxy-2-naphthyl)-1-(lH-imidazol-4-yl)-2-methyl-1-propanol 336102-68-2P, Methyl 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl)-2-naphthoate 336102-70-6P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-N-methyl-2-naphthamide 336102-73-9P, 6-[1-Hydroxy-1-(1H-imidazol-4yl)propyl]-N-methyl-2-naphthamide 337521-66-19, 1-(1H-Imidazol-4-y1)-2-methyl-1-(6-phenyl-2-naphthyl)-1-propanol 337521-68-3P, 1-[6-(2-Furyl)-2-naphthyl]-1-(1H-imidazol-4-y1)-2-methyl-1-propanol 337521-70-7P, 1-(1H-Imidazol-4-y1)-2-methyl-1methyl-1-propanol 337521-70-7P, 1-(lH-Imidazol-4-yl)-2-methyl-1[6-(2-thienyl)-2-naphthyl]-1-propanol 337521-74-1P,
1-(lH-Imidazol-4-yl)-2-methyl-1-[6-(lH-1,2,3-triazol-4-yl)-2-naphthyl]-1propanol 337521-77-4P, 1-(lH-Imidazol-4-yl)-2-methyl-1-[6-(lH-1,2,3,4-tetrazol-5-yl)-2-naphthyl]-1-propanol 337521-79-6P,
1-(lH-Imidazol-4-yl)-2-methyl-1-[6-(lH-pyrazol-4-yl)-2-naphthyl]-1propanol 337521-81-0P, 1-(lH-Imidazol-4-yl)-2-methyl-1-[6-(l,3-oxazol-5-yl)-2-naphthyl]-1-propanol 337521-84-3P,
6-[1-lhb-row-1-(lH-imidazol-4-yl)-2-methyl-yl-naphthamide 6-[1-Hydroxy-1-(1H-imidazol-4-y1)-2-methylpropy1)-N-methyl-2-naphthamide 337521-86-5P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-methoxy-2-naphthamide 337521-89-8P, 1-(1H-Imidazol-4-yl)-1-(naphtho(2,1-b)furan-7-yl)-2-methyl-1-propanol 337521-95-6P, 1-(1,2-Dihydronaphtho[2,1-b]furan-7-yl}-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 337522-00-6P, 1-(2,3-Dihydro-1H-benzo[f]chromen-8-yl)-1-(1H-imidazol-4-yl)-2-methyl-1-propanol 337522-09-5P, 1-(2,3-Dihydro-1H-benzo[f]chromen-8-yl)-1-(lH-imidazol-4-yl)ethanol 337522-10-8P, 1-(2,3-Dihydro-1H-benzo[f]chromen-8-yl)-1-(1H-imidazol-4-yl)propanol 337522-12-0P, 1-(1,2-Dihydronaphtho[2,1-b)furan-7-yl)-1-(1H-imidazol-4-yl)-1-ethanol 337522-21-1P, 1-(1,2-Dihydronaphtho[2,1-b] furan-7-yl)-1-(1H-imidazol-4-yl)-1-propanol 337522-31-39 337522-33-5P, (+)-N-[6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]naphthalen-2-yl}acetamide 337522-40-4P 337522-41-5P, N-Ethyl-6-[1-hydroxy-1-(1H-337522-40-4P 337522-41-5P, N-Ethyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-49-3P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-isopropyl-2-naphthamide 337522-53-9P, N-Butyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-57-3P, N-Cyclopropyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-61-9P, N-Cyclobutyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-61-9P, N-Cyclobutyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-61-9P, N-Cyclobutyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-methylpropyll-2-methylpropyll-2-methylpropyll-2-methylprop imidazol-4-yl) -2-methylpropyl] -2-naphthamide 337522-64-2P,
N-Cyclopropylmethyl-6-[1-hydroxy-1-(1H-imidazol-4-yl) -2-methylpropyl] -2-naphthamide 337522-67-5P, N-Cyclopentyl-6-(1-hydroxy-1-(1Himidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-69-7P, N-Cyclohexyl-6-[1-hydroxy-1-[1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-72-2P, N-Cycloheptyl-6-[1-hydroxy-1-[1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-74-4P, 6-[1-Hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthamide 337522-77-7P, 1-Chloro-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 336102-70-6 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-y1)-3-methylbutyl]N-methyl- (9CI) (CA INDEX NAME)

RN 336102-73-9 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)propyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 337521-66-1 CAPLUS
CN lH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-phenyl-2-naphthalenyl)- (9CI) {CA INDEX NAME}

RN 337521-68-3 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-{6-(2-furanyl)-2-naphthalenyl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337521-70-7 CAPLUS
CN lH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-(2-thienyl)-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 337521-74-1 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-(1H-1,2,3-triazol-4-yl)-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 337521-77-4 CAPLUS
CN lH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(lH-tetrazol-5-yl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 337521-79-6 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(1H-pyrazol-4-yl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337521-95-6 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1,2-dihydronaphtho(2,1-b)furan-7-yl).alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 337522-00-6 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(2,3-dihydro-1H-naphtho[2,1-b]pyran-8-yl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 337522-09-5 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(2,3-dihydro-1H-naphtho[2,1-b]pyran-8-yl).alpha.-methyl- (9CI) (CA INDEX NAME)

RN 337522-10-8 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(2,3-dihydro-1H-naphtho[2,1-b]pyran-8-yl).alpha.-ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337521-81-0 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-{i-methylethyl}-.alpha.-{6-(5-oxazolyl)-2-naphthalenyl}- (9CI) (CA INDEX NAME)

RN 337521-84-3 CAPLUS
CN 2-Naphthalenecarboxamide, 6-{1-hydroxy-1-(1H-imidazo1-4-y1)-2-methylpropyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 337521-86-5 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-y1)-2-methylpropyl]-N-methoxy- (9CI) (CA INDEX NAME)

RN 337521-89-8 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-naphtho(2,1-b)furan-7-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337522-12-0 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1,2-dihydronaphtho[2,1-b]furan-7-yl).alpha.-methyl- (9CI) (CA INDEX NAME)

RN 337522-21-1 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1,2-dihydronaphtho(2,1-b)furan-7-yl).alpha.-ethyl- (9CI) (CA INDEX NAME)

RN 337522-31-3 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-, (-)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 336102-55-7 CMF C19 H22 N2 O3

Absolute stereochemistry. Rotation (-).

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ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

337522-33-5 CAPLUS Acetamide, N-[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

337522-40-4 CAPLUS Acetamide, N-[6-(1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]-, (-)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX

CM 1

CRN 337522-33-5 CMF C19 H21 N3 O2

Rotation (-).

CH 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337522-61-9 CAPLUS 2-Naphthalenecarboxamide, N-cyclobutyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

337522-64-2 CAPLUS

2-Naphthalenecarboxamide, N-(cyclopropylmethyl)-6-[1-hydroxy-1-(1Himidazol-4-yl)-2-methylpropyl}- (9CI) (CA INDEX NAME)

337522-67-5 CAPLUS

2-Naphthalenecarboxamide, N-cyclopentyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl) - (9CI) (CA INDEX NAME)

337522-69-7 CAPLUS 2-Naphthalenecarboxamide, N-cyclohexyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337522-41-5 CAPLUS

2-Naphthalenecarboxamide, N-ethyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

337522-49-3 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(lH-imidazol-4-yl)-2methylpropy1]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 337522-53-9 CAPLUS

2-Naphthalenecarboxamide, N-butyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl}- (9CI) (CA INDEX NAME)

337522-57-3 CAPLUS

2-Naphthalenecarboxamide, N-cyclopropyl-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl] - (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337522-72-2 CAPLUS 2-Naphthalenecarboxamide, N-cycloheptyl-6-[1-hydroxy-1-(lH-imidazol-4-yl)-2-methylpropyl) - (9CI) (CA INDEX NAME)

337522-74-4 CAPLUS

2-Naphthalenecarboxamide, 6-(1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl)- (9CI) (CA INDEX NAME)

337522-77-7 CAPLUS

2-Naphthalenecarboxamide, 1-chloro-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl}- (9CI) (CA INDEX NAME)

337522-79-9 CAPLUS

2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]-1-methyl- (9CI) (CA INDEX NAME)

337522-83-5 CAPLUS

2-Naphthalenecarboxamide, 1-chloro-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-methyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337522-88-0 CAPLUS
CN 2-Naphthalenecarboxamide, 6+[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

RN 337522-99-3 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 337523-03-2 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-{1H-imidazol-4-yl}-2-methylpropyl}-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 337523-06-5 CAPLUS
CN Pyrrolidine, 1-{[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337523-32-7 CAPLUS
CN L-Alanine, N-[[6-{1-hydroxy-1-(lH-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 337523-36-1 CAPLUS
CN D-Alanine, N-[[6-{1-hydroxy-1-(lH-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 337523-47-4 CAPLUS
CN 2-Naphthalenecarboxamide, 6-(cyclopropylhydroxy-1H-imidazol-4-ylmethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 337523-61-2 CAPLUS

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 337523-11-2 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

RN 337523-16-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-ethoxy-6-{1-hydroxy-1-(1H-imidazo1-4-y1)-2-methylpropy1]- (9CI) (CA INDEX NAME)

RN 337523-20-3 CAPLUS
CN 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-N-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 337523-24-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-(2-hydroxyethyl)-6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 2-Naphthalenecarboxamide, 6-[(15)-1-hydroxy-1-(1H-imidazol-4-y1)-2methylpropyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 337523-63-4 CAPLUS
CN 2-Naphthalenecarboxamide, N-ethyl-6-[(15)-1-hydroxy-1-(1H-imidazol-4-yl)-2methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 337523-65-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-cyclopropyl-6-[(15)-1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 337523-76-9 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-[5-chloro-6-(5-oxazolyl)-2-naphthalenyl).alpha.-(1-methylethyl)- (9Cl) (CA INDEX NAME)

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L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337523-82-7 CAPLUS 2-Naphthalenecarboxamide, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-3-methylbutyl]-(9CI) (CA INDEX NAME)

337523-86-1 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(2-methylpropyl)-.alpha.-(6-(1H-1,2,3triazol-4-yl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

337523-94-1 CAPLUS

H-Imidazole-4-methanol, .alpha.-(2-methylpropyl)-.alpha.-[6-(5-oxazolyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

1H-Imidazole-4-methanol, .alpha.-[6-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-naphthalenyl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337522-97-1 CAPLUS

2-Naphthalenecarboxylic acid, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl}propyl]-3-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

337524-05-7 CAPLUS

2-Naphthalenecarboxamide, 6-[(15)-1-hydroxy-1-(1H-imidazol-4-yl)propyl]-N-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 336103-03-8 CMF C18 H19 N3 O2

Absolute stereochemistry. Rotation (-).

CH 2

CRN 110-17-8 CHF C4 H4 04

Double bond geometry as shown.

CO2H

IT 247174-44-3, 6-[1-Hydroxy-2-methyl-1-(1-trityl-1H-imidazol-4yl)propyl]-2-naphthaldehyde 337522-97-1
RL: RCT (Reactant): RACT (Reactant or reagent)

(reactant; prepn. of imidazolyl naphthalenemethanol steroid C17-20 lyase inhibitors for treatment of breast and prostate cancer)

247174-44-3 CAPLUS

2-Naphthalenecarboxaldehyde, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

DOCUMENT NUMBER: 134:260881 Potential Antidepressants Displayed Combined TITLE:

.alpha.2-Adrenoceptor Antagonist and Monoamine Uptake

Inhibitor Properties AUTHOR(S):

Cordi, Alex A.; Berque-Bestel, Isabelle; Persigand, Thierry; Lacoste, Jean-Michel; Newman-Tancredi, Adrian; Audinot, Valerie; Millan, Mark J.

Institut de Recherches Servier, Suresnes, F-92150, Fr. Journal of Medicinal Chemistry (2001), 44(5), 787-805 CODEN: JMCMAR; ISSN: 0022-2623 CORPORATE SOURCE: SOURCE:

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

English LANGUAGE:

Classical antidepressants are thought to act by raising monoamine (serotonin and noradrenaline) levels in the brain. This action is generally accomplished either by inhibition of monoamine metab. (MAO inhibitors) or by blockade of monoamine uptake (tricyclic antidepressants and selective serotonin or noradrenaline reuptake inhibitors). However, all such agents suffer from a time lag (3-6 wk) before robust clin. efficacy can be demonstrated. This delay may reflect inhibitory actions of noradrenaline at presynaptic .alpha.2A-adrenergic auto- or heteroreceptors which gradually down-regulate upon prolonged exposure. Blockade of presynaptic .alpha.2A-adrenoceptors by an antagonist endowed with monoamine uptake inhibition properties could lead to new antidepressants with greater efficacy and a shorter time lag. In the literature, only two mols. have been described with such a pharmacol. profile. Of these, napamezole was chosen as a point of departure for the design of 4(5)-{(3,4-dihydro-2-naphthalenyl)methyl]-4,5-dihydroimidazole, which displayed the desired profile: .alpha.2A-adrenoceptor antagonist properties and serotonin/noradrenaline uptake inhibition. From this original mol., a series of derivs. was designed and synthesized, encompassing substituted as well as rigid analogs. Structure-activity relationships permitted the selection of (4(5)-[(5-fluoroindan-2yl)methyl]-4,5-dihydroimidazole) as a development candidate.

331992-77-9P 331992-78-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (prepn. and structure-activity relations of potential antidepressants displaying combined .alpha.2-adrenoceptor antagonist and monoamine

uptake inhibitor activities) 331992-77-9 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-[[1-(triphenylmethyl)-1H-imidazol-4yl]methyl]- (9CI) (CA INDEX NAME)

331992-78-0 CAPLUS

1-Naphthalenol, 1,2,3,4-tetrahydro-2-[[1-(triphenylmethyl)-lH-imidazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT:

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS 37 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 3-thiophenecarboxaldehyde followed by warming to room temp. and stirring overnight to give 2-(tert-butyldimethylsilyl)-5-(hydroxythiophen-2-ylmethyl)imidazole-1-sulfonic acid dimethylamide. This was treated sequentially with Bu4NF, Et35iH/CF3CO2H/CH2Cl2, and aq. HCl to give 4(5)-thiophen-3-ylmethyl-1H-imidazole. Tested I as eyedrops at 0.03-11 reduced intraocular pressure in cynomolgus monkeys by 12.4-33% and showed no sedative activity.

157058-47-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of imidazoles as selective agonists at .alpha.2b or .alpha.2b/.alpha.2c adrenergic receptors)

157058-47-4 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

157058-55-4P 226570-89-4P 226571-02-4P

RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preph. of imidazoles as selective agonists at .alpha.2b or .alpha.2b/.alpha.2c adrenergic receptors)

157058-55-4 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl]methyl]-(9CI) (CA INDEX NAME)

226570-89-4 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl}-, CN monohydrochloride (9CI) (CA INDEX NAME)

● HCl

ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS ESSION NUMBER: 2001:12424 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 134:86245

Preparation of imidazoles as selective agonists at alpha.2b or .alpha.2b/.alpha.2c adrenergic receptors. Chow, Ken; Gil, Daniel W.; Burke, James A.; Harcourt, INVENTOR(5): Dale A.; Garst, Michael E.; Wheeler, Larry A.; Munk,

Stephen A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2 Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DOCUMENT TYPE:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000-US15795 20000608 2001000586 A1 20010104 W0 2000-US15795 20000608

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

1104407 A1 20010606 EP 2000-939699 20000608

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

2002156076 A1 20021024 US 2001-948001 20010906 WO 2001000586 A1 20010104 EP 1104407 US 2002156076 US 2001-948001 20010906 US 1999-329752 A 19990610 US 1997-985347 B2 19971204 A1 20021024 PRIORITY APPLN. INFO.:

US 1998-205597 B2 19981204 WO 2000-US15795 W 20000608

US 2000-679919 A1 20001005

MARPAT 134:86245 OTHER SOURCE (5):

Title compds. [I: dotted lines - optional double bonds: R = H, alkyl: X = 5, CHR1: R1 = H, alkyl, null: Y = 0, N, S, [C(R1)n]y, CH:CH, Y1CH2: y = 1-3: n = 1, 2: R2 = H, alkyl, halo, OH, alkoxy, alkenyl, acyl, alkynyl, etc.: R3, R4 = H, alkyl, halo, alkenyl, acyl, alkynyl, etc.: R3R4 = atoms to form (unsatd.) (heterocyclic) ring), were prepd. Thus, 1-(dimethylsulfamoyl)imidazole in THF at -70.degree. was treated with BuLi and tert-butyldimethylsilyl chloride followed by warming to room temp., stirring overnight, cooled to -20.degree., and treatment with BuLi and

ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-02-4 CAPLUS

1(2H)-Naphthalenone, 3,4,5,6,7,8-hexahydro-2-(1H-imidazol-4-ylmethyl)-(CA INDEX NAME)

226571-05-7 CAPLUS

1H-Imidazole, 4-[(1,2,3,4,5,6,7,8-octahydro-2-naphthalenyl)methyl]- (9CI)

157058-44-1 157058-52-1 226571-13-7 226571-14-8 226571-25-1 226571-26-2 226571-35-3 226571-36-4 226571-37-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(prepn. of imidazoles as selective agonists at .alpha.2b or

.alpha.2b/.alpha.2c adrenergic receptors)
058-44-1 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)+ (9CI) (CA INDEX NAME)

157058-52-1 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA CN INDEX NAME)

1H-Imidazole, 4-[[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry

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ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-14-8 CAPLUS 1H-Imidazole, 4-[[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]- (9CI)

Absolute stereochemistry.

$$\bigcap_{\mathbb{R}} \bigcap_{\mathbb{N}} \bigcap$$

226571-25-1 CAPLUS 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-4-methyl-2-naphthalenyl)methyl]-(9CI) (CA INDEX NAME)

226571-26-2 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-4-methyl-(9CI) (CA INDEX NAME)

226571-35-3 CAPLUS 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-4,4-dimethyl-2-naphthalenyl)methyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-36-4 CAPLUS 1H-Imidazole, 4-((1,2,3,4-tetrahydro-7-methyl-2-naphthalenyl) methyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

226571-37-5 CAPLUS
1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methyl-(9CI) (CA INDEX NAME)

IT 226571-57-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazoles as selective agonists at .alpha.2b or

alpha.2b/.alpha.2c adrenergic receptors)

226571-57-9 CAPLUS 1-Naphthalenol, 1,2,3,4-tetrahydro-2-(lH-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS 2000:911226 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:56671

TITLE: Process for the preparation of 4-alkanoylimidazole

derivatives and 1-(2-naphthyl)-1-(1H-imidazol-4-

yl)alkanol derivatives Kawakami, Jun-ichi INVENTOR(S):

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

PCT Int. Appl., 39 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE W0 2000078727 A1 20001228 W0 2000-JP4036 20000621

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 2001064264 A2 20010313 JP 2000-191081 200000621

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO WO 2000078727 A1 20001228 WO 2000-JP4036 20000621 IE, SI, LT, LV, FI, RO PRIORITY APPLN. INFO.: JP 1999-175070 A 19990622 WO 2000-JP4036 W 20000621

CASREACT 134:56671; MARPAT 134:56671 OTHER SOURCE(S):

An industrially advantageous process for the prepn. of compds. of general formula (I; wherein the ring A is an optionally substituted imidazole ring; R is an optionally substituted hydrocarbon group or a heterocyclic

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L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) group: and R1, R2, R3, R4, R5, R6, and R7 are each hydrogen, optionally substituted hydrocarbyl, OH, SH, NH2, acyl, halogeno, or the like) comprises addn. reaction of 4-cyanoimidazole (II; the ring A is same as above) with R-M1 (R is same as above; M1 = alkali metal, Mg-Y1; Y1 = halo) to give 4-acylimidazole (III; R and ring A are same as above), followed by addn. reaction of III with naphthalene alkali metals (IV: R1 - R7 are = same as above: M2 is alkali metal, Mg-Y2: Y2 is halo). This process is reduced in the no. of steps, attains a high yield, and dispenses with the use of a heavy metal compd. The compds. I exhibit a steroid C17-C20 lyase inhibitory activity (no data). Thus, a soln. of 42.7 g 4-cyanoimidazole in 500 mL THF was added dropwise to a 1.1. M soln. of isopropylnagnesium bromide in THF (1.4 L) over a period of 30 min, stirred at 15-25.degree., treated dropwise with 10% aq. H2SO4, stirred for 30 min, neutralized to pH 8 with 30 aq. NaOH, and extd. with EtOAc (300 L.times. 2) to give 82% 1-(1H-imidazol-4-yl)-2-methyl-1-propanone (V). 2-Bromo-6-methoxynaphthalene (5.15 g) was added dropwise to a mixt. of 0.55 g and 3 mg iodine in THF at 50.degree. and stirred at 15-25.degree. for 1.5 h, followed by adding dropwise a soln. of 1 g V in THF, and the resulting mixt. was stirred at 15-25.degree. for 8 h to give, after workup, 84% 1-(1H-imidazol-4-yl)-1-(6-methoxynaphthalen-2-yl)-2methylpropanol. 247173-05-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-alkanoylimidazole derivs. and .alpha.-(2-naphthyl)-.alpha.-(1H-imidazolyl) alkanol derivs. by addn. reaction of cyanoimidazoles with alkylmagnesium bromides followed by naphthylmagnesium bromide) 247173-05-3 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS -10.degree. was treated with Me2CHMgBr in THF to give 1-(1H-imidazol-4-yl)-1-(6-methoxynaphthalen-2-yl)-2-methyl-1-propanol. This inhibited rat steroid C17, 20-lyase with IC50 = 33 nM. I drug formulations are given.

247173-05-3P 247173-06-4P 247173-07-5P 247173-09-7P 247173-11-1P 247173-12-2P 247173-13-3P 247173-14-4P 247173-17-7P 247173-18-8P 247173-19-9P 247173-20-2P 247173-21-3P 247173-22-4P 247173-23-5P 247173-24-6P 247173-25-7P 247173-26-8P 247173-27-9P 247173-28-0P 247173-29-1P 247173-30-4P 247173-31-5P 247173-32-6P 247173-33-7P 247173-34-8P 247173-35-9P 247173-36-0P 247173-37-1P 247173-38-2P 247173-39-3P 247173-40-6P 247173-41-7P 247173-42-8P 247173-43-9P 247173-44-0P 247173-45-1P 247173-46-2P 247173-47-3P 247173-48-4P 247173-49-5P 247173-50-8P 247173-51-9P 247173-52-0P 247173-53-1P 247173-54-2P 247173-55-3P 247173-56-4P 247173-57-5P 247173-58-6P 247173-59-7P 247173-60-0P 247173-61-1P 247173-62-2P 247173-63-3P 247173-64-4P 247173-65-5P 247173-66-6P 247173-68-8P 247173-69-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study): PREP (Preparation): USES (Uses)

(prepn. of azolylmethylnaphthalenes and related compds. as steroid C17,20-lyase inhibitors)

247173-05-3 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1methylethyl) - (9CI) (CA INDEX NAME)

247173-06-4 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-methyl-(9C1) (CA INDEX NAME)

247173-07-5 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-

(trifluoromethyl) - (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:691084 CAPLUS DOCUMENT NUMBER: 131:299449

Preparation of azolylmethylnaphthalenes and related TITLE: compounds as steroid C17, 20-lyase inhibitors.

Tasaka, Akihiro: Ojida, Akio: Kaku, Tomohiro: Kusaka, INVENTOR(S): Masami; Yamaoka, Masuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan SOURCE:

PCT Int. Appl., 131 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> APPLICATION NO. DATE PATENT NO. KIND DATE 19991028 WO 1999-JP2143 19990422 WO 9954309 9954309
> A1 19991028
> WC 1999-JP2143 19990422
> W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 1999-2328973 19990422 JP 1999-114398 19990422 EP 1999-917102 19990422 CA 2328973 AA 19991028 JP 2000007658 A2 20000111 20010207 A1 EP 1073640

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 1998-113801 A 19980423 WO 1999-JP2143 W 19990422 PRIORITY APPLN. INFO.:

MARPAT 131:299449 OTHER SOURCE(S):

Title compds. (I: A1 = (substituted) imidazolyl, thiazolyl, oxazolyl, pyridyl; R11 = H, (substituted) hydrocarbyl, monocyclic heteroaryl; R21 = H, (substituted) alkyl; R3-R9 = H, (substituted) hydrocarbyl, OH, SH, amino, acyl, halo: R21 = (substituted) alkyl], and salts or prodrugs thereof, were prepd. Thus, 2-bromo-6-methoxynaphthalene in THF at -78.degree. was treated with BuLi and then with 4-formyl-1-trityl-1H-imidazole to give (6-methoxynaphthalen-2-yl) (1-trityl-1H-imidazol-4-yl) methanol. The product was refluxed with MnO2 in CRC13 to give the ketone, which was detritylated with HCO2H in THF to give (1H-imidazol-4-yl) (6-methoxynaphthalen-2-yl) ketone. The latter in THF at

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-09-7 CAPLUS 1H-Imidazole-4-methanol, .alpha.-cyclopropyl-.alpha.-(6-methoxy-2naphthalenyl)-, (2E)-2-butenedicate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 247173-08-6 CMF C18 H18 N2 O2

CRN 110-17-8

Double bond geometry as shown.

247173-11-1 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1,1-dimethylethyl)-.alpha.-(6-methoxy-2naphthalenyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 247173-10-0

CMF C19 H22 N2 O2

CM

CRN 110-17-8

CMF C4 H4 04

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Double bond geometry as shown.

RN 247173-12-2 CAPLUS
CN 3-Pyridinemethanol, .alpha.-lH-imidazol-4-yl-.alpha.-(6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 247173-13-3 CAPLUS
CN 4-Pyridinemethanol, .alpha.-lH-imidazol-4-yl-.alpha.-(6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 247173-14-4 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-phenyl(9CI) (CA INDEX NAME)

RN 247173-17-7 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(5-fluoro-6-methoxy-2-naphthalenyl).alpha.-(1-methylethyl)- [9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-22-4 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-hydroxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-23-5 CAPLUS
CN lH-Imidazole-1,4-dimethanol, .alpha.4-(6-hydroxy-2-naphthalenyl)-.alpha.4-(1-methylethyl)-, .alpha.1-acetate (9CI) (CA INDEX NAME)

RN 247173-24-6 CAPLUS
CN 1H-Imidazole, 4-[1-methoxy-1-(6-methoxy-2-naphthalenyl)ethyl]- (9CI) (CA

RN 247173-25-7 CAPLUS
CN 1H-Imidazole, 4-[1-methoxy-1-(6-methoxy-2-naphthalenyl)-2-methylpropyl](9CI) (CA INDEX NAME)

RN 247173-26-8 CAPLUS

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-18-8 CAPLUS
CN lH-Imidazole-4-methanol, .alpha.-ethyl-.alpha.-(6-methoxy-2-naphthalenyl)(9CI) (CA INDEX NAME)

RN 247173-19-9 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 247173-20-2 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-propyl(9CI) (CA INDEX NAME)

RN 247173-21-3 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-cyclopentyl-.alpha.-(6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Imidazole, 4-[methoxy(6-methoxy-2-naphthaleny1)methyl]+ (9CI) (CA INDEX NAME)

RN 247173-27-9 CAPLUS
CN 1H-Imidazole, 4-[(6-methoxy-2-naphthalenyl)(1-methylethoxy)methyl]- (9CI)
(CA INDEX NAME)

RN 247173-28-0 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1-methylethenyl)- (9CI) (CA INDEX NAME)

RN 247173-29-1 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(5-chloro-6-methoxy-2-naphthalenyl).alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-30-4 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-{5-{1-[[(1,1-dimethylethyl)dimethylsilyl]oxy}ethyl]-6-methoxy-2-naphthalenyl]-.alpha.(1-methylethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-31-5 CAPLUS

CN 1,6-Naphthalenedimethanol, .alpha.6-lH-imidazol-4-yl-2-methoxy-.alpha.1-methyl-.alpha.6-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-32-6 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(phenylmethoxy)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 247173-33-7 CAPLUS

N 1H-Imidazole-4-methanol, .alpha.-[6-methoxy-5-(1-methylethenyl)-2-naphthalenyl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-38-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

RN 247173-39-3 CAPLUS

HH-Imidazole-4-methanol, .alpha.-[6-(2-methoxyethoxy)-2-naphthalenyl].alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-O

RN 247173-40-6 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-41-7 CAPLUS

CN lH-Imidazole-4-methanol, .alpha.-(6,7-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-42-8 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-5-methyl-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME) L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-34-8 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-[6-methoxy-5-(1-methylethyl)-2-naphthalenyl}-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

PN 247173-35-9 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl)oxy]methyl ester (9CI) (CA INDEX NAME)

N 247173-36-0 CAPLUS

CN IH-Imidazole-4-methanol, .alpha.-[6-(1-methylethoxy)-2-naphthalenyl}.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-37-1 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-propoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-43-9 CAPLUS

TN 1H-Imidazole-4-methanol, .alpha.-(5,6-dimethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-44-0 CAPLUS

CN lH-Imidazole-4-methanol, .alpha.-(6-hydroxy-7-methoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-45-1 CAPLUS

CN 1,6-Naphthalenedimethanol, .alpha.6-1H-imidazol-4-yl-2-methoxy-.alpha.6-(1-methylethyl) - (9CI) (CA INDEX NAME)

N 247173-46-2 CAPLUS

CN IH-Imidazole-4-methanol, .alpha.-[6-methoxy-5-(methoxymethyl)-2-naphthalenyl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-47-3 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(5-ethyl-6-methoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

247173-48-4 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(5-ethenyl-6-methoxy-2-naphthalenyl).alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

247173-49-5 CAPLUS IH-Imidazole-4-methanol, .alpha.-(5-bromo-6-methoxy-2-naphthalenyl).alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

247173-50-8 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6-(fluoromethoxy)-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-55-3 CAPLUS Urea, N'-[6-(1-hydroxy-1-(1H-imidazol-4-y1)-2-methylpropy1]-2-naphthalenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

247173-56-4 CAPLUS
Urea, N-[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]-N'-methyl- (9CI) (CA INDEX NAME)

O || MeNH-C-NH

247173-57-5 CAPLUS Methanesulfonamide, N-[6-{1-hydroxy-1-(1H-imidazol-4-y1)-2-methylpropy1}-2-naphthaleny1]- (9CI) (CA INDEX NAME)

247173-58-6 CAPLUS 2,6-Naphthalenedimethanol, .alpha.-1H-imidazol-4-yl-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-51-9 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-5,7-dimethyl-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

247173-52-0 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6-bromo-2-naphthalenyl)-.alpha.-(1methylethyl) - (9CI) (CA INDEX NAME)

247173-53-1 CAPLUS 1H-Imidazole-4-methanol, .alpha.-{6-amino-2-naphthalenyl}-.alpha.-(1-methylethyl}- (9CI) (CA INDEX NAME)

247173-54-2 CAPLUS Acetamide, N-[6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) 247173-59-7 CAPLUS Ethanone, 1-[6-(1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2naphthalenyl] - (9CI) (CA INDEX NAME)

247173-60-0 CAPLUS 1-Propanone, 1-[6-[1-hydroxy-1-(1H-imidazo1-4-yl)-2-methylpropyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

247173-61-1 CAPLUS 1-Propanone, 1-(6-[1-hydroxy-1-(1H-imidazol-4-yl)-2-methylpropyl]-2-naphthalenyl]-2-methyl- (9CI) (CA INDEX NAME)

247173-62-2 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(6-ethyl-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

247173-63-3 CAPLUS 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-methyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-64-4 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-ethynyl-2-naphthalenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-65-5 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-(methylthio)-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 247173-66-6 CAPLUS
CN lH-Imidazole-4-methanol, .alpha.-(6-methoxy-1-methyl-2-naphthalenyl).alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 247173-68-8 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-propyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-1(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 247173-71-3 CAPLUS
CN Methanone, (6-methoxy-2-naphthalenyl)[1-(triphenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 247173-72-4 CAPLUS
CN Methanone, 1H-imidazol-4-yl(6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 247173-73-5 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-methyll-(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 247173-74-6 CAPLUS
CN 3-Pyridinemethanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-(1-(triphenylmethyl)-lH-imidazol-4-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-69-9 CAPLUS

CN 1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(1-methylethyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

IT 247174-67-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azolylmethylnaphthalenes and related compds. as steroid
C17,20-lyase inhibitors)

C17,20-lyase inhibitor 247174-67-0 CAPLUS

CN Methanone, (5-fluoro-6-methoxy-2-naphthalenyl)-1H-imidazol-4-yl- (9CI) (CA INDEX NAME)

IT 247173-70-2P 247173-71-3P 247173-72-4P 247173-73-5P 247173-74-6P 247173-75-7P 247173-76-8P 247173-81-5P 247173-82-6P 247173-83-7P 247173-85-9P 247173-86-0P 247173-88-2P 247173-89-3P 247173-90-6P 247173-92-8P 247173-93-9P 247173-94-0P 247173-95-1P 247173-98-4P 247173-99-5P 247174-00-19 247174-01-2P 247174-03-4P 247174-04-5P 247174-05-6P 247174-06-7P 247174-07-8P 247174-08-9P 247174-09-0P 247174-10-3P 247174-11-4P 247174-12-5P 247174-16-9P 247174-17-0P 247174-24-9P 247174-25-0P 247174-26-1P 247174-29-4P 247174-31-8P 247174-35-2P 247174-36-3P 247174-38-5P 247174-39-6P 247174-40-9P 247174-41-0P 247174-42-1P 247174-43-2P 247174-44-3P 247174-45-4P 247174-46-5P 247174-47-6P 247174-48-7P 247174-50-1P 247174-51-2P 247174-52-3P 247174-54-5P 247174-63-6P 247174-64-7P 247174-65-8P 247174-66-9P 247174-69-2P 247174-72-7P RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (prepn. of azolylmethylnaphthalenes and related compds. as steroid C17,20-lyase inhibitors) RN 247173-70-2 CAPLUS

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 247173-75-7 CAPLUS
CN 4-Pyridinemethanol, .alpha.~(6-methoxy-2-naphthalenyl)-.alpha.-[1(triphenylmethyl)-lH-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 247173-76-8 CAPLUS

TN lH-Imidazole-4-methanol, .alpha.-(6-methoxy-2-naphthalenyl)-.alpha.-phenyll-(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 247173-81-5 CAPLUS
CN 1H-Imidazole-4-methanol, .alpha.-[6-(phenylmethoxy)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 247173-82-6 CAPLUS
CN Methanone, [6-(phenylmethoxy)-2-naphthalenyl][1-(triphenylmethyl)-1H-imidazol-4-yl]+ (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-83-7 CAPLUS

IH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6(phenylmethoxy)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247173-85-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-hydroxy-2-naphthalenyl)-.alpha.-(1methylethyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

247173-86-0 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-(acetyloxy)-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247173-88-2 CAPLUS

H-Imidazole, 4-[1-methoxy-1-(6-methoxy-2-naphthalenyl)ethyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-94-0 CAPLUS

1H-Imidazole, 4-{(6-methoxy-2-naphthalenyl)(1-methylethoxy)methyl}-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247173-95-1 CAPLUS

Methanone, (5-chloro-6-methoxy-2-naphthalenyl)-lH-imidazol-4-yl- (9CI) (CA INDEX NAME)

IH-Imidazole-4-methanol, .alpha.-{5-[1-[((1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-6-methoxy-2-naphthalenyl}-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247173-99-5 CAPLUS
Methanone, [5-[1-[[(1,1-dimethylethyl)dimethylsilyl)oxy]ethyl]-6-methoxy-2-naphthalenyl][1-(triphenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247173-89-3 CAPLUS

Methanone, 1H-imidazol-4-yl-2-naphthalenyl- (9CI) (CA INDEX NAME)

247173-90-6 CAPLUS

1H-Imidazole-4-methanol, .alpha.~(6-methoxy-2-naphthalenyl)-.alpha.~(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247173-92-8 CAPLUS

1H-Imidazole, 4-[1-methoxy-1-(6-methoxy-2-naphthalenyl)-2-methylpropyl]-1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

247173-93-9 CAPLUS

1H-Imidazole, 4-{methoxy(6-methoxy-2-naphthalenyl)methyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247174-00-1 CAPLUS

Methanone, [5-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-6-methoxy-2naphthalenyl]-lH-imidazol-4-yl- (9CI) (CA INDEX NAME)

247174-01-2 CAPLUS

Methanone, 1H-imidazol-4-yl[6-(phenylmethoxy)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

247174-03-4 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-methoxy-5-(1-methylethenyl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-04-5 CAPLUS

Hethanone, [6-methoxy-5-(1-methylethenyl)-2-naphthalenyl][1-

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (triphenylmethyl)-lH-imidazol-4-yl]- (9CI) (CA INDEX NAME)

247174-05-6 CAPLUS Methanone, 1H-imidazol-4-yl[6-methoxy-5-(1-methylethenyl)-2-naphthalenyl]-(9C1) (CA INDEX NAME)

247174-06-7 CAPLUS Propanoic acid, 2,2-dimethyl-, [[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl]oxy]methyl ester (9CI) (CA INDEX NAME)

247174-07-8 CAPLUS IH-Imidazole-4-methanol, .alpha.-[6-(1-methylethoxy)-2-naphthalenyl].alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247174-16-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{i-Pr} \\ \text{He} \\ \text{t-Bu-Si-O} \\ \end{array} \begin{array}{c} \text{OH} \\ \end{array} \begin{array}{c} \text{N} \\ \text{OH} \\ \end{array}$$

247174-17-0 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-[[(1,1-dimethylethyl)dimethylsilyl)oxy]-2-naphthalenyl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

247174-24-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[7-methoxy-6-(phenylmethoxy)-2-CN naphthalenyl] - (9CI) (CA INDEX NAME)

247174-25-0 CAPLUS

Methanone, 1H-imidazol-4-yl[7-methoxy-6-(phenylmethoxy)-2-naphthalenyl]-(9CI) (CA INDEX NAME) CN

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

247174-08-9 CAPLUS

Propanoic acid, 2,2-dimethyl-, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl ester (9CI) (CA

247174-09-0 CAPLUS

H-Imidazole-4-methanol, .alpha.-[6-(2-methoxyethoxy)-2-naphthalenyl].alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-10-3 CAPLUS

Hethanone, (6-ethoxy-2-naphthalenyl)[1-(triphenylmethyl)-IH-imidazol-4-yl](9CI) (CA INDEX NAME) CN

247174-11-4 CAPLUS

H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-12-5 CAPLUS

Methanone, (6,7-dimethoxy-2-naphthalenyl)-1H-imidazol-4-yl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

247174-26-1 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[7-methoxy-6-(phenylmethoxy)-2naphthalenyl] -. alpha. - (1-methylethyl) - (9CI) (CA INDEX NAME)

247174-29-4 CAPLUS

H-Imidazole-4-methanol, .alpha.-(5-ethenyl-6-methoxy-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-31-8 CAPLUS

1H-Imidazole-4-methanol, .alpha.-[6-(fluoromethoxy)-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-35-2 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-methoxy-5,7-dimethyl-2-naphthalenyl)-CN (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247174-36-3 CAPLUS

Methanone, 1H-imidazol-4-yl(6-methoxy-5,7-dimethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME) CN

247174-38-5 CAPLUS

lH-Imidazole-4-methanol, .alpha.-(6-bromo-2-naphthalenyl)-.alpha.-(1-CN methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-39-6 CAPLUS

IH-Imidazole-4-methanol, .alpha.-(6-[(diphenylmethylene)amino]-2-naphthalenyl]-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-40-9 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-amino-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

RN 247174-41-0 CAPLUS

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

247174-46-5 CAPLUS

Ethanone, 1-[6-(1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

247174-47-6 CAPLUS

1-Propanone, 1-[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4yl]propyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

247174-48-7 CAPLUS

1-Propanone, 1-[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]-2-naphthalenyl)-2-methyl- (9CI) (CA INDEX NAME)

247174-50-1 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-ethenyl-2-naphthalenyl)-.alpha.-(1methylethyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Acetamide, N-[6-{1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl}-2-naphthalenyl]- (9CI) (CA INDEX NAME)

247174-42-1 CAPLUS

Urea, N'+[6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-lH-imidazol-4-yl]propyl]-2-naphthalenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

247174-43-2 CAPLUS

Methanesulfonamide, N-[6-{1-hydroxy-2-methyl-1-{1-(triphenylmethyl)-1Himidazol-4-yl]propyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & i - Pr \\ \downarrow & & & \downarrow \\ Me - S - NH & & OH & N \\ & & & \\ & & & \\ \end{array}$$
 CPh3

247174-44-3 CAPLUS

2-Naphthalenecarboxaldehyde, 6-[1-hydroxy-2-methyl-1-[1-(triphenylmethyl)-1H-imidazol-4-yl)propyl]- (9CI) (CA INDEX NAME)

247174-45-4 CAPLUS

2,6-Naphthalenedimethanol, .alpha.-(1-methylethyl)-.alpha.-(1-(triphenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

247174-51-2 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-ethyl-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-52-3 CAPLUS

IH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-methyl-2-naphthalenyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-54-5 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-ethynyl-2-naphthalenyl)-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-63-6 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-(2-propenyl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME) CN

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L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

247174-64-7 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-propyl-2naphthalenyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

247174-65-8 CAPLUS 1H-Imidazole-4-methanol, .alpha.-{6-(1-methylethenyl)-2-naphthalenyl}-.alpha.-(1-methylethyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-66-9 CAPLUS

HH-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-[6-(1-methylethyl)-2-naphthalenyl]-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-69-2 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(6-ethoxy-2-naphthalenyl)-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)

247174-72-7 CAPLUS

1H-Imidazole-4-methanol, .alpha.-(1-methylethyl)-.alpha.-(6-propoxy-2-

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:375530 CAPLUS

DOCUMENT NUMBER: 131:19013 TITLE:

Preparation of .alpha.2B and .alpha.2C adrenoceptor

Chow, Ken; Gil, Daniel W.; Burke, James A.; Harcourt, Dale A.; Garst, Michael E.; Wheeler, Larry A.; Munk, INVENTOR(S):

Stephen A.

PATENT ASSIGNEE(S):

Allergan Sales, Inc., USA PCT Int. Appl., 121 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE:

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	IE,					·	•			•			-•	•		
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							1	US 1	998-	2055	97	B2	1998	204		
								JS 1	999-	3297	52	В3	1999	3610		
								JS 2	000-	6799	19	A1	2000	1005		
OTHER SOURCE					PAT											
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	oxy-1															-
	limethy															
																aphth-2
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IT 226571-05-7P 226571-13-7P 226571-14-8P 226571-25-1P 226571-26-2P 226571-35-3P 226571-36-4P 226571-37-5P 226571-43-3P

226571-55-7P RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of .alpha.2B and .alpha.2C adrenoceptor agonists) 157058-44-1 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)- (9CI) (CA

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) naphthalenyl) -1-(triphenylmethyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS INDEX NAME)

157058-47-4 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-7-methoxy-CN

(9CI) (CA INDEX NAME)

157058-52-1 CAPLUS 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA

157058-55-4 CAPLUS IH-Imidazole, 4-[1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl]methyl](9CI) (CA INDEX NAME)

226570-89-4 CAPLUS 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-02-4 CAPLUS 1(2H)-Naphthalenone, 3,4,5,6,7,8-hexahydro-2-(1H-imidazol-4-ylmethyl)-(9CI) (CA INDEX NAME)

226571-05-7 CAPLUS

1H-Imidazole, 4-[(1,2,3,4,5,6,7,8-octahydro-2-naphthalenyl)methyl]- (9CI)

226571-13-7 CAPLUS

1H-Imidazole, 4-[[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

226571-14-8 CAPLUS

1H-Imidazole, 4-[{(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\text{respective}$$

226571-25-1 CAPLUS

IH-Imidazole, 4-{(1,2,3,4-tetrahydro-4-methyl-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-43-3 CAPLUS

1(2H)-Naphthalenone, 3,4,5,6,7,8-hexahydro-2-(1H-imidazol-4-ylmethyl)-, CN monohydrochloride (9CI) (CA INDEX NAME)

● HCl

226571-55-7 CAPLUS

1H-Imidazole, 4-[(1,2,3,4,5,6,7,8-octahydro-2-naphthalenyl)methyl]-,

(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 226571-05-7

CMF C14 H20 N2

CH 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C CO2H

IT 226571-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of .alpha.2B and .alpha.2C adrenoceptor agonists) 226571-57-9 CAPLUS

1-Naphthalenol, 1,2,3,4-tetrahydro-2-(lH-imidazol-4-ylmethyl)-7-methoxy-

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

226571-26-2 CAPLUS
1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-4-methyl-(9CI) (CA INDEX NAME)

226571-35-3 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-4,4-dimethyl-2-naphthalenyl)methyl}-(9CI) (CA INDEX NAME)

226571-36-4 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methyl-2-naphthalenyl)methyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

226571-37-5 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-7-methyl-

(9CI) (CA INDEX NAME)

ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:244636 CAPLUS DOCUMENT NUMBER: 130:252360

Preparation of dihydronaphthalene compounds TITLE: Hartmann, Rolf Wolfgang: Wachall, Bertil: Yoshihama, INVENTOR(S):

Makoto: Nakakoshi, Masamichi: Nomoto, Shin: Ikeda, Yoshikazu

PATENT ASSIGNEE(S): Yukijirushi Nyugyo Kabushiki Kaisha, Japan PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 1998-JP4426 19981001 WO 9918075 A1 19990415 W: AU, CA, CN, FI, HU, IL, JP, KR, MX, NO, NZ, RU, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE ZA 1998-8954 ZA 9808954 19990412 19981001 AU 9892810 19990427 AU 1998-92810 19981001 20020124 AU 743405 B2 EP 1028110 20000816 EP 1998-945556 19981001 A1 R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE 20000201 FI 2000000207 Α 20000201 FI 2000-207 NO 2000-1289 20000310 NO 2000001289 20000310 US 2001-866179 US 2002032211 20020314 A1 JP 1997-284263 A WO 1998-JP4426 W PRIORITY APPLN. INFO.: 19971002 19981001 US 1999-424126 Bl 19991117

MARPAT 130:252360 OTHER SOURCE(S):

Dihydronaphthalene compds. I (R1 = H, OH, alkyloxy: R2 = alkyl, aralkyl, Ph; R3 = alkyl, Ph, pyridyl, imidazolyl), useful as 17.alpha.-hydroxylase/C17-20-lyase inhibitors, thromboxane A2 synthesis inhibitors, and aromatase inhibitors, were prepd. I (R1 = H, R2 = Me, R3 = 3-pyridyl) showed 17.alpha.-hydroxylase/C17-20-lyase and aromatase inhibitor

activity. 157058-45-2P 157058-46-3P 157058-47-4P 221651-52-1P 221651-54-3P 221651-56-5P 221651-61-2P 221651-64-5P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of dihydronaphthalenes)

ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS

CN 1(2H)-Naphthalenone, 7-ethoxy-3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-(9CI) (CA INDEX NAME)

221651-61-2 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-6-propoxy-(9CI) (CA INDEX NAME)

n-PrO

221651-64-5 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-6-(2-

methylpropoxy) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

157058-45-2 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-5-methoxy-(9CI) (CA INDEX NAME)

157058-46-3 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-6-methoxy-(9CI) (CA INDEX NAME)

157058-47-4 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-CN (9CI) (CA INDEX NAME)

221651-52-1 CAPLUS 1(2H)-Naphthalenone, 5-ethoxy-3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-(9CI) (CA INDEX NAME)

221651-54-3 CAPLUS

1(2H)-Naphthalenone, 6-ethoxy-3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-(9CI) (CA INDEX NAME)

ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS SSION NUMBER: 1998:543217 CAPLUS

ACCESSION NUMBER:

129:149262 DOCUMENT NUMBER:

Preparation and biological activity of TITLE: imidazopyridoindole and imidazopyridobenzothiophene

combinatorial libraries

INVENTOR(S): Ostresh, John M.

Trega Biosciences, Inc., USA PATENT ASSIGNEE(S): PCT Int. Appl., 82 pp. CODEN: PIXXD2 SOURCE:

Patent DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	KIND DATE					A	PPLI	CATI	0.	DATE						
								-								
WO 9834	WO 9834112			A1 19980806				W	0 19	97-ช:	86	19971205				
¥:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,
	VN,	YU,	Z₩,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM				
RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	Z₩,	λT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
	GN,	ML,	MR,	NE,	SN,	TD,	TG									
US 5856	107		A		1999	0105		Ų	5 19	97-7	4	19970204				
AU 9853	740		A:	1	1998	0825		A	U 19	98-5	3740		1997	1205		
PRIORITY APP	LN.	NFO	. :				1	US 1	997-	7943	64		1997	0204		
							1	70 1	997-	JS22	286		1997	1205		
OTHER SOURCE	(S):			MAR	PAT	129:	1492	62								

GI

The invention provides a rapid approach for combinatorial synthesis and screening of libraries of imidazopyridoindole and imidazopyridobenzothiophenes 1 [R1 = H, halo, (un)protected OH, amino, (un)protected carboxy; R2 = H, (un)substituted C1-10 alkyl, (un) substituted Ph, (un) substituted C7-16 phenylalkyl, (un) substituted C3-7 cycloalkyl, (un)substituted naphthyl; R2 may form piperidine or benzopiperidine ring with the adjacent N; R3 = (un)substituted C1-10 alkyl, (un)substituted C2-10 alkenyl, (un)substituted C3-7 cycloalkyl, (un)substituted Ph, (un)substituted C7-16 phenylalkyl, (un)substituted naphthyl, (un)substituted heterocycle: X = N, S: Y = H, Me). The present invention further provides methods of prepg. the libraries and the individual compds. made by the combinatorial synthesis. Reactivity ratios for amidation of 85 carboxylic acids to resin-bound dipeptide derivs. are also given, along with reactivity ratios for solid-phase peptide coupling of 25 N-protected amino acids. Thus, 121 sublibraries I, prepd. by

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L4 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) systematically varying R1 (and X and Y), R2, and R3 were prepd. via solid-phase peptide coupling of a tryptophan or (benzothienyl) alanine deriv. (variables R1, X, and Y) to a benzhydrylamine resin, coupling of another amino acid residue (variable R2), coupling of a carboxylic acid residue (variable R3), POC13-induced ring closure, and HF resin cleavage. All 121 prepd. sublibraries were tested for antimicrobial activity and

.mu.-opioid receptor binding.
IT 210982-44-8DP, combinatorial library derivs. 210983-86-1DP

combinatorial library derivs. RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)

(prepn. and biol. activity of imidazopyridoindole and imidazopyridobenzothiophene combinatorial libraries)

210982-44-8 CAPLUS 5H-Imidazo[1',5':1,2]pyrido[3,4-b]indole-5-carboxamide, 6,11-dihydro-1-(2-naphthalenylmethyl) - (9CI) (CA INDEX NAME)

210983-86-1 CAPLUS [1] Benzothieno[2, 3-c]imidazo[1,5-a]pyridine-5-carboxamide, 5,6-dihydro-1-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation): RACT (Reactant or reagent): USES (Uses) (synthesis and evaluation of azole-substituted tetrahydronaphthalenes

as inhibitors of human and lab. animal cytochrome P 450 enzymes in relation to structure and hormone formation and uterotropic activity and mammary tumor inhibition) 157058-44-1 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)

157058-45-2 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-5-methoxy-(CA INDEX NAME)

157058-46-3 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-6-methoxy-(9CI) (CA INDEX NAME)

157058-47-4 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)-7-methoxy-(CA INDEX NAME)

157058-52-1P 157058-53-2P 157058-55-4P 178880-06-3P

L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1996:358249 CAPLUS

DOCUMENT NUMBER: 125:75343

Synthesis and evaluation of azole-substituted tetrahydronaphthalenes as inhibitors of P450 arom,

P450 17 and P450 TxA2

Hartmann, Rolf W.; Frotscher, Martin; Ledergerber, AUTHOR(S): Dorothea: Waechter, Gerald A.; Gruen, Gertrud L.;

Sergejew, Tom F. Fachrichtung 12.1 Pharmazeutische Chemie, Univ. CORPORATE SOURCE:

Saarlandes, Saarbruecken, D-66041, Germany Archiv der Pharmazie (Weinheim, Germany) (1996), 329(5), 251-261

CODEN: ARPMAS: ISSN: 0365-6233

PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English

SOURCE:

In search of potential drugs for the treatment of estrogen- and androgen-dependent cancer as well as the prophylaxis of metastases, tetralones, tetralins, and dihydronaphthalenes bearing of OCH3 substituent at the benzene nucleus and an imidazol-4-yl, imidazol-1-yl, or 1,2,4-triazol-1-yl substituents in 2-position were synthesized with and without C2-spacer between the rings. The compds. were tested in vitro for inhibition of the three target enzymes P 450 arom (human placental microsomes), P 450 17 (rat testicular microsomes), and P 450 TxA2 (citrated human whole blood). To examine selectivity, some compds. were further tested in vitro for inhibition of P 450 18 (bovine adrenal mitochondrial), P 450 scc (bovine adrenal mitochondrial) and corticoid formation (aldosterone, corticosterone; ACTH stimulated rat adrenal tissue). In vivo, selected compds. were examd. in Sprague Dawley rats regarding P 450 TxA2 inhibition, redn. of plasma testosterone concn., antiuterotropic activity (inhibition of the uterotropic activity of androstenedione), redn. of plasma estradiol concn. (pregnant mares' serum gonadotropin-primed rats), and mammary tumor inhibiting activity
(dimethylbenzanthracene-induced tumor; pre- and postmenopausal model). In the series of imidazol-4-yl compds., which represent new azole inhibitors of steroidogenic P 450 enzymes, strong inhibitors of P 450 arom and/or P 450 17 were found; 7-OCH3-2-(imidazol-4-ylmethylene)-1-tetralone (I) and 7-OCH3-2-(imidazol-4-ylmethyl)-tetralin (II) are among the most potent inhibitors of P 450 arom in vitro know so far. I is a selective inhibitor, whereas II shows in addn. strong inhibition of P 450 17. In contrast to II, the 6-OCH3 deriv. is a selective inhibitor of P 450 17, being 50 times more potent than ketoconazole. Some imidazol-1-yl compds. show a marked inhibition of P 450 TxA2: 2-(imidazol-1-ylmethyl)-1-tetralone is a selective inhibitor of P 450 TxA2, whereas 7-OCH3-2-(imidazol-1-ylmethyl)-tetralin as well as 2-(imidazol-1-ylmethyl)tetralin and 7-OCH3-2-imidazol-1-yl-3,4-dihydronaphthalene addnl. show strong inhibition of P 450 arom and P 450 17. Structure-activity relations are discussed. Regarding the other steroidogenic P 450 enzymes as well as corticosterone formation, the compds. show only slight inhibitory activity. Aldosterone formation, however, is inhibited at low concns. Nevertheless, I and II are more selective, i.e. inhibit aldosterone synthesis less than the well known inhibitor of P 450 arom

fadrozole. The compds. show activity in the aforementioned in vivo tests. 157058-44-1P 157058-45-2P 157058-46-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and evaluation of azole-substituted tetrahydronaphthalenes as inhibitors of human and lab. animal cytochrome P 450 enzymes in relation to structure and hormone formation and uterotropic activity and mammary tumor inhibition)

157058-52-1 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

157058-47-49

157058-53-2 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-5-methoxy-2-naphthaleny1)methy1]-(9CI) (CA INDEX NAME)

157058-55-4 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl]-(9CI) (CA INDEX NAME)

178880-06-3 CAPLUS RN

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CRN 157058-54-3

CMF C15 H18 N2 O

9815362Page 45 02/03/2003

L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 144-62-7 CMF C2 H2 O4

L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:827732 CAPLUS

124:202093 DOCUMENT NUMBER:

Molecular design of novel PGI2 agonists without PG TITLE:

skeleton. IV. [Erratum to document cited in CA123:198689]

AUTHOR (5):

Hamanaka, N.; Takahashi, K.; Nagao, Y.; Torisu, K.; Tokumoto, H.; Kondo, K.

Minase Res. Inst., Ono Pharmaceutical Co., Ltd., CORPORATE SOURCE: Osaka, 618, Japan

Bioorganic & Medicinal Chemistry Letters (1995), SOURCE:

5(18), 2179 CODEN: BMCLE8; ISSN: 0960-894X

PUBLI SHER: Elsevier

DOCUMENT TYPE: Journal

SUAGE: English
The errors were not reflected in the abstr. or the index entries. LANGUAGE:

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(PGI2 agonist activity of (Erratum))

150559-29-8 CAPLUS

Acetic acid, [[6-[(2-(diphenylmethyl)-1H-imidazol-4-yl]methyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy)- (9CI) (CA INDEX NAME)

ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS ESSION NUMBER: 1996:97011 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 124:260928

Novel nonprostanoid prostacyclin (PGI2) mimetics with TITLE:

heterocyclic moiety Nagao, Yuuki, Takahashi, Kanji, Torisu, Kazuhiko, AUTHOR (5):

Kondo, Kigen; Hamanaka, Nobuyuki Minase Res. Inst., Ono Pharmaceutical Co., Ltd., Osaka, 610, Japan Heterocycles (1996), 42(2), 517-23 CORPORATE SOURCE:

SOURCE:

CODEN: HTCYAM; ISSN: 0385-5414 Japan Institute of Heterocyclic Chemistry PUBLISHER:

DOCUMENT TYPE: Journal English

Structural modification of {[6-[2-[(diphenylmethoxy)imino]pentyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetic acid [i.e., [2-[2-[diphenylmethoxy]imino]pentyl]-5,6,7,8-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-5-naphthyloxy]acetic acid], previously identified as a PGI2 agonist without a PG skeleton, was examd. Such analogs were for example, {[6-[3-(diphenylmethyl)-1,2,4-oxadiazol-5-yl]methyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetic acid or [[6-[2-(diphenylmethyl)-1H-imidazol-4-yl]methyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetic acid. Conversion of the oxime molety in [[6-[2-[(diphenylmethoxy)imino]pentyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetic acid to a pyrazole led to [[6-[[4-(diphenylmethyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetic acid 1H-pyrazol-1-yl]methyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetic acid [i.e., [2-(4-benzhydrylpylazoyl)methyl-1,2,3,4-tetrahydro-5-naphthyloxy]acetic acid] which strongly inhibited ADP-induced aggregation of human platelets in vitro.

150559-29-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (prepn. of [[[[(phenylmethoxy)imino]alkyl]naphthalenyl]oxy]acetate

analogs as nonprostanoid prostacyclin mimetics) 150559-29-8 CAPLUS

Acetic acid, {[6-[[2-(diphenylmethyl)-1H-imidazol-4-yl]methyl]-5,6,7,8tetrahydro-1-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS ESSION NUMBER: 1995:612212 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

123:198691

Medetomidine analogs as .alpha.-adrenergic agonists Amemiya, Yoshiya: Hus, Fulian: Shams, Gamal: Feller, Dennis R.: Venkataraman, B. V.: Patil, Popat N.: AUTHOR(S):

College Pharmacy, Ohio State University, Columbus, OH, CORPORATE SOURCE:

43210, USA Egyptian Journal of Pharmaceutical Sciences (1994), SOURCE:

35(1-6), 403-10 CODEN: EJPSB2; ISSN: 0301-5068 National Information and Documentation Centre PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

English CASREACT 123:198691 OTHER SOURCE(S):

Recently, it has been reported that medetomidine is a new 4-substituted imidazole analog possessing selective and potent .alpha.2-adrenergic properties. It has been shown that it reduces blood pressure, heart rate and saliva secretion. At the present time is sedative and hypotensive effects seem to be manifest in the same dose range. We have initiated a program to see if it is possible to sep, these activities with analogs of medetomidine. The initial studies have been directed at procedures for the conversion of the imidazolines, a common structure of .alpha.-adrenergic drugs, to the corresponding imidazoles. It was found that 2-substituted and 2,4-disubstituted imidazolines can easily be converted into imidazoles using 10% Pd/C in refluxing toluene, while in some instances there are some difficulties with the conversion of 4-substituted imidazolines to the imidazoles. The synthesis of 1- or 2-(2-or 4-imidazolylmethyl)naphthalene analogs of medetomidine are also

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Blologi study); PREP (Preparation) (prepn. of 4-substituted imidazoles)

137967-88-5 CAPLUS

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

137967-88-5P

9815362Page 46 02/03/2003

L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1995:612188 CAPLUS

DOCUMENT NUMBER: 123:111932

Synthesis and .alpha.-adrenergic activities of 2- and TITLE:

4-substituted imidazoline and imidazole analogs of .alpha.- and .beta.-naphthalene

Amemiya, Yoshiya; Venkataraman, Burrah V.; Patil, Popat N.; Shams, Gamal; Romstedt, Karl AUTHOR(S): College Pharmacy, Ohio State University, Columbus, OH, CORPORATE SOURCE: 43210, USA

Egyptian Journal of Pharmaceutical Sciences (1994), 35(1-6), 91-112 SOURCE:

CODEN: EJPSBZ: ISSN: 0301-5068

PUBLISHER: National Information and Documentation Centre

DOCUMENT TYPE: Journal LANGUAGE: English

AB Seven analogs of medetomidine and naphazoline were synthesized and evaluated for their .alpha.1- (aorta) and .alpha.2- (platelet) activities. The analogs were composed of 2- and 4-substituted imidazoles and ine analogs were composed of 2- and 4-substituted initiatives at achieve initiatives attached through a methylene bridge to either an .alpha.— or .beta.—naphthalene ring system. In general the .alpha.—naphthlene analogs were found to be the most potent inhibitors of platelet aggregation. .alpha.—Naphthalene analogs were partial agonists while the .beta.-naphthalene analogs were antagonists in .alpha.l-adrenergic system (aorta). 137967-82-9P 166034-65-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and adrenergic activities of medetomidine and naphazoline

137967-82-9 CAPLUS

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]-, monohydrochloride (9CI) (CA

HCl

166034-65-7 CAPLUS

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CRN 137967-88-5 CMF C15 H14 N2

ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS 1995:598392 CAPLUS

ACCESSION NUMBER: 123:198689

DOCUMENT NUMBER:

Molecular design of novel PGI2 agonists without PG TITLE:

skeleton. IV

AUTHOR(S): Hamanaka, Nobuyuki; Takahasi, Kanji; Nagao, Yuuki; Torisu, Kazuhiko: Tokumoto, Hidekado: Kondo, Kigen Minase Res. Inst., Ono Pharmaceutical Co., Ltd., Osaka, 618, Japan CORPORATE SOURCE:

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995),

5(10), 1083-6 CODEN: EMCLE8; ISSN: 0960-894X

I

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

The synthesis and biol. evaluation of a novel series of di- or tetrahydronaphthalen-5-oxyacetic acid derivs. with a 4-benzhydrylpyrazolyl group is described. Among these compds., I has been identified as a highly potent PGI2 agonist with an exceptionally long in vivo duration of

RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): BIOL (Biological study)

(PGI2 agonist activity of)

Acetic acid, [[6-[[2-(diphenylmethyl]-1H-imidazol-4-yl]methyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

137967-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis and adrenergic activities of medetomidine and naphazoline

137967-88-5 CAPLUS

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS SSION NUMBER: 1995:513524 CAPLUS

ACCESSION NUMBER: 122:265379

DOCUMENT NUMBER:

Preparation of (cyanobenzyl) azole derivatives as

aromatase inhibitors Shibata, Tomoyuki: Sugimura, Yukio: Tanzawa, Kazuhiko: INVENTOR(S):

Takahashi, Masaaki: Kobayashi, Tomowo: Mitsuhashi, Yoshihiro

PATENT ASSIGNEE(S):

Sankyo Co., Ltd., Japan PCT Int. Appl., 94 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE WO 1993-JP1509 19931020 A1 19940428 WO 9408973 9408973 AT 19940428 WG 1993-071309 19931020
W: AU, CA, CZ, FI, HU, KR, NO, NZ, RU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
9352855 A1 19940509 AU 1993-52855 19931020
06263742 A2 19940920 JP 1993-261438 19931020

AU 9352855 JP 06263742 PRIORITY APPLN. INFO.: JP 1992-283177 19921021 19931020 WO 1993-JP1509

MARPAT 122:265379 OTHER SOURCE(S):

The title compds. (I; R1 = imidazolyl, triazolyl or tetrazolyl each of which may be substituted by Me and/or Et; R2 = naphthyl, phenanthryl or anthryl each of which may be substituted by substituent(s) selected from C1-4 alkyl, C1-4 alkoxy, C1-6 acyloxy, arom. acyloxy, OH, trialkyl, C1-4 acylamino, alkoxyalkoxy, alkoxyacyloxy, and trialkylsilyloxy; R3 = H, Me, cyano), useful for the treatment of breast cancer, are prepd. Thus, 2-bromo-6-methoxynaphthalene was treated with BuLi in hexane and THF at

9815362Page 47 02/03/2003

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) -78.degree. followed reaction with a soln. of p-cyanobenzaldehyde in THF at -78 degree. gave p-cyano-.alpha.-(6-methoxynaphthalen-2-yl)benzyl alc. which was stirred with SOC12 in CH2C12 at room temp. for 1 h to give p-cyano-.alpha.-(6-methoxynaphthalen-2-yl)benzyl chloride. The latter chloride was dissolved in MeCN and refluxed with imidazole overnight to give, after silica gel chromatog. and acidification with HCl, title compd. (II.HCl) which in vitro showed IC50 of 3.7 nM against aromatase. Hard capsule, tablet, injection and suspension formulations contg. (p-cyanobenzyl)tetrazole deriv. (III.HCl) were described. 162573-42-49 162573-46-8P 162573-58-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of (cyanobenzyl) azole deriv. as aromatase inhibitor and

anticancer agent for breast cancer)

162573-42-4 CAPLUS
Benzonitrile, 4-(1H-imidazol-4-yl-2-naphthalenylmethyl)- (9CI) (CA INDEX

162573-46-8 CAPLUS

Benzonitrile, 4-(1H-imidazol-4-yl-9-phenanthrenylmethyl)- (9CI) (CA INDEX

162573-58-2 CAPLUS
Benzonitrile, 4-(1H-imidazol-4-yl-2-naphthalenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1994:534112 CAPLUS

DOCUMENT NUMBER: 121:134112 TITLE:

Preparation of imidazolylmethylenetetralones and analogs as aromatase inhibitors

INVENTOR(S): Hartmann, Rolf W.: Wachter, Gerald Anton

PATENT ASSIGNEE(S): SOURCE:

Tokyo Tanabe Co. Ltd., Japan PCT Int. Appl., 29 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
VO 9407866	A1	19940414	WO 1993-JP1433	19931006
			I, HU, KR, LK, MG, MN,	
	RU, SD, SK			
			R, GB, GR, IE, IT, LU,	
AU 9351184			A, GN, ML, MR, NE, SN, AU 1993-51184	
			JP 1993-250257	
PRIORITY APPLN.	INFO.:		JP 1992-267130 A	19921006
			WO 1993-JP1433 W	19931006

OTHER SOURCE(S):

MARPAT 121:134112

The title compds. I [R represents hydrogen, C1-C4 lower alkoxy, nitro or C1-C4 lower alkoxycarbonyl; when X and Y represent each hydrogen or X and Y are combined together to represent oxygen, 2 represents hydrogen and the broken line represents an arbitrary bond, when X represents hydrogen, Y and 2 are combined together to represent a single bond; n represents an integer of 0 or 1] are prepd. A mixt. of 1-tetralone and imidazole-4-carbaldehyde in 40% H2SO4 was heated for 20 h at 80-90.degree. to give, after workup, (E)-2-(4-imidazolylmethylene)-1-tetralone (II). II in vitro showed IC50 of 0.260 .mu.M against aromatase.

157058-44-1P 157058-45-2P 157058-46-3P

157058-47-4P 157058-52-1P 157058-53-2P

157058-54-3P 157058-55-4P RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as aromatase inhibitor) 157058-44-1 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(lH-imidazol-4-ylmethyl)- (9CI) (CA

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 AC5 (Continued)

● HCl

ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 157058-45-2 CAPLUS 1(2H) -Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-5-methoxy-CN

(9CI) (CA INDEX NAME)

157058-46-3 CAPLUS 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-6-methoxy-

(9CI) (CA INDEX NAME)

157058-47-4 CAPLUS

1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

157058-52-1 CAPLUS 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA

157058-53-2 CAPLUS

1H-Imidazole, 4-[(1,2,3,4-tetrahydro-5-methoxy-2-naphthalenyl)methyl]-

9815362Page 48 02/03/2003

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) (9CI) (CA INDEX NAME)

RN 157058-54-3 CAPLUS
CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

RN 157058-55-4 CAPLUS
CN 1H-Imidazole, 4-{(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 150559-29-8 CAPLUS
CN Acetic acid, [[6-[[2-(diphenylmethyl)-lH-imidazol-4-yl]methyl]-5,6,7,8-tetrahydro-l-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1993:671157 CAPLUS DOCUMENT NUMBER: 119:271157 Fused benzeneoxyacetic acid derivative PGI2 receptor adonists Hamanaka, Nobuyuki; Takahashi, Kanji; Tokumoto, INVENTOR(S): Hidekado PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan Eur. Pat. Appl., 110 pp. CODEN: EPXXDW SOURCE: DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE EP 1992-121898 19921223 EP 548949 19930630 19931006 EP 548949 A3 19970917 EP 548949 В1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE 19930720 19950127 JP 1991-360502 19911227 JP 1992-209587 19920714 JP 05178832 A2 A2 JP 07025854 US 5461045 19951024 US 1992-912999 CA 1992-2073917 CA 1992-2085844 AT 1992-121898 CA 2073917 19940116 19920715 CA 2085844 AT 158282 19930628 19921218 19971015 19921223 ES 2108076 19971216 ES 1992-121898 19921223 US 5389666 JP 07145057 19950214 19950606 US 1992-997492 JP 1992-360608 19921228 19921228 A2 US 1994-334395 US 5589496 19961231 US 1996-722456 US 1998-168424 19960927 19981007 US 5849919 19981215 US 5962439 19991005 PRIORITY APPLN. INFO.: JP 1991-360502 19911227 JP 1992-209587 19920714 US 1992-997492 US 1994-334395 19921228 19941103 US 1996-722456 OTHER SOURCE(S): MARPAT 119:271157 For diagram(s), see printed CA Issue.

The title compds. I (A = (un)substituted heterocyclyl; B = alkylene, alkenylene; ring D = carbocyclic ring; R1 = HO, C1-12 alkoxy, (un) substituted amino], which demonstrate PGI2 receptor agonist activity and are useful in the treatment of thrombosis, arteriosclerosis, ischemic heart diseases, gastric ulcer, or hypertension (no data), are prepd. and I-contg. formulations presented. Thus, pyrazole deriv. II was prepd. which demonstrated 50% inhibitory concn. against human blood platelet aggregation of 0.043 .mu.M in human blood-derived. platelet-rich plasma. 150558-87-5 150559-29-8 RL: RCT (Reactant); RACT (Reactant or reagent) (PGI2 receptor agonist activity of) 150558-87-5 CAPLUS Acetic acid, [[5,6,7,8-tetrahydro-6-(1H-imidazol-4-ylmethyl)-1naphthalenyl]oxy] - (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1993:649949 CAPLUS 119:249949 DOCUMENT NUMBER: Preparation of imidazole derivatives as interleukin 1 TITLE: inhibitors and antiphlogistics Ueno, Yoshihide; Masumori, Hiroaki; Saji, Kitaro INVENTOR(5): PATENT ASSIGNEE(S): Sumitomo Pharma, Japan Jpn. Kokai Tokkyo Koho, 13 pp. SOURCE: CODEN: JXXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE A2 19930622 JP 1991-348294 19911203 JP 05155882 PRIORITY APPLN. INFO.: JP 1991-348294 MARPAT 119:249949 OTHER SOURCE(S): For diagram(s), see printed CA Issue.

The title derivs. I (A = lower alkylene: M = arom. hydrocarbon ring, thiophene: D = 0, CO, CH(OR5), C(:NOR5), CH[N(R5)2], NR5, single bond: R1 = H, halo: R2 = lower alkyl or alkenyl, (un)substituted Ph, (un)substituted cycloalkyl, (un)substituted thienyl: R3 = N-contg. heterocyclyl: R4, R5 = H, lower alkyl: when D is single bond then R2 is lower alkokyl or their acid salts are prepd. as interleukin 1 inhibitors and antiphlogistics. A mixt. of 3-(2-fluoro-4-biphenyl)-1-(4-pyridylcarbonyl)amino-2-butanone (prepd. from fluorobiprofen in 4 steps), and NH4Ac was heated at 140-150.degree. for 4 h to give 44% 4-(1-(2-fluoro-4-biphenyl)ethyl)-2-(4-pyridyl)imidazole-HCl. I inhibited 4-(1-(2-fluoro-4-biphenyl)ethyl)-2-(4-pyridyl)imidazole-HCl. I inhibited growth of interleukin 1. 150972-40-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as interleukin 1 inhibitor and antiphlogistics) 150972-40-0 CAPLUS 2-Naphthalenol, 6-[1-[2-(4-pyridinyl)-lH-imidazol-4-yl]ethyl]-,

Me H N N

dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

9815362Page 49 02/03/2003

ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS SSION NUMBER: 1992:106173 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

116:106173

Synthesis and .alpha.-adrenergic activities of 2- and 4-substituted imidazoline and imidazole analogs AUTHOR(S):

Amemiya, Yoshiya: Hong, Seoung S.: Venkataraman, Burrah V.: Patil, Popat N.: Shams, Gamal: Romstedt, Karl: Feller, Dennis R.; Hsu, Fu Lian: Miller, Duane

CORPORATE SOURCE: Coll. Pharm., Ohio State Univ., Columbus, OH, 43210,

Journal of Medicinal Chemistry (1992), 35(4), 750-5

SOURCE: CODEN: JMCHAR: ISSN: 0022-2623 Journal

DOCUMENT TYPE: LANGUAGE:

Analogs I-III (R = 1-naphthyl, 2-naphthyl; R1 = H, Me) of medetomidine and naphazoline were synthesized and evaluated for their .alpha.1 (aorta) and .alpha.2 (platelet) activities. In general the 1-naphthalene analogs were the most potent inhibitors of epinephrine-induced platelet aggregation. Of considerable interest was the fact that I-III (R=1-naphthyl) were antagonists in an .alpha.l-adrenergic system (aorta). Thus, appropriately substituted naphthalene analogs of medetomidine and naphazoline provide a spectrum of .alpha.l-agonist, .alpha.l-antagonist, and .alpha.2-antagonist

137967-82-9P 137967-88-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and adrenergic activity of) 137967-82-9 CAPLUS

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

137967-88-5 CAPLUS

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS 1992:15364 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 116:15364

TITLE: Structure-activity studies of new imidazolines on adrenoceptors of rat sorta and human platelets AUTHOR (S):

Venkataraman, B. V.; Shams, G.; Hamada, A.; Amemiya,

Y.; Tantishaiyakul, V.; Hsu, F.; Fashempour, J.; Romstedt, K. J.; Miller, D. D.; et al.

CORPORATE SOURCE: Coll. Pharm., Ohio State Univ., Columbus, OH, 43210,

USA SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1991),

344(4), 454-63 CODEN: NSAPCC: ISSN: 0028-1298

DOCUMENT TYPE: Journal

LANGUAGE:

Potencies of new arom. substituted fluoro or iodo analogs of catecholimidazoline (I) on functional responses in rat aorta (.alpha.1) and platelets (.alpha.2) were quantified. When compared either on the basis of EC50 or the dissocn. const. (KA), 5-fluorocatecholimidazoline was as potent as the ref. .alpha.l-adrenoceptor agonist, phenylephrine in the vascular tissue. The max. contraction of aorta produced by the fluoro analog was, however, 17% higher than that of phenylephrine. The time required for 1/2 relaxation of the tissue after 5-fluoro hydroxy imidazoline was at least twice as long as that of the phenylephrine. The catechol moiety as well as fluorine substitution at the crit. 5-position of the arom. ring is essential for higher .alpha.l adrenoceptor-mediated potency. As compared to the fluoro analogs, the adrenoceptor-mediated potencies of iodo-analogs were relatively weak on vascular tissue. Naphazoline and its analogs were partial agonists on vascular tissue with dissocn. consts. which ranged from 110 to 2600 nmol/L. Imidazole analogs (II, R = naphthyl or xylene), were generally less potent agonist than the imidazolines by one order of magnitude. The vascular effects of all agonists were competitively blocked by prazosin with KB values which ranged from 0.04 to 0.48 nmol/L. Since the variation in KB values were within normal limits, the action of new imidazolines on rat aorta appears to be mediated mainly by the activation of the .alpha.1-adrenoceptor. Prazosin 10 nmol/L abolished the vascular response of some partial agonists. This indicates a slightly different mode of interaction of agonists with the transduction process. Carbon 4-substituted imidazolines produced little or no .alpha.1 adrenoceptor-mediated intrinsic activity, but competitive receptor blocking potency was comparable to that of phentolamine. Medetomidine was a partial agonist on the rat aorta with a KA of 260 nmol/L. When investigated as a blocker, the KB of medetomidine against phenylephrine was approx. 5600 nmol/L. The variation in the latter value was high. In acetylsalicylic acid-treated human platelets, the .alpha.2-adrenoceptor-mediated aggregatory effect of all fluoro analogs was weak. Iodo or naphazoline analogs did not initiate platelet

(Continued) ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS

L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued) aggregation but blocked the aggregation induced by epinephrine. The affinity of naphazoline for the .alpha.2-adrenoceptor was 1100 nmol/L. The IC50 of medetomidine for platelet anti-aggregatory effect was 3300 nmol/L, which compares favorably with other imidazoline type of blockers of platelet aggregations. Sympathomimetic vasoconstrictor actions and platelet aggregation effects of these compds. can be dissocd. Some vasoconstrictors were antiaggregatory. The structure-activity relationships of the two receptor systems, namely rat aorta (.alpha.1) and platelets (.alpha.2), are discussed. 137967-88-5

RL: BIOL (Biological study) (.alpha.-adrenoceptors of aorta and human platelets interaction with,

structure in relation to)

1H-Imidazole, 4-[1-(2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

```
L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                          1991:623482 CAPLUS
                         115:223482
Use of 5-HT3 receptor antagonists for treatment of
DOCUMENT NUMBER:
TITLE:
                          panic disorders, agoraphobía, or obsessive compulsive
INVENTOR(S):
                          Azcona, Alberto
PATENT ASSIGNEE(S):
                          Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.,
                          Austria: Sandoz-Patent-G.m.b.H.: Sandoz A.-G.
                          PCT Int. Appl., 35 pp. CODEN: PIXXD2
SOURCE:
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                      KIND DATE
                                            APPLICATION NO. DATE
     PATENT NO.
```

WO	9012	569		A1	19901	1101		WO	1990	-EP5	40	19900406	
	₩;	ΑU,	CA,	JP, 1	KR, US								
	RW:	AT,	BE,	CH, I	DE, DX,	ES,	FR,	GB, 1	IT, L	U, N	L, SE		
CA	2031	214		ÄÄ	19901	1022		CA	1990	-203	1214	19900406	
AU	9054	158		A1	19901	1116		ΑU	1990	-541	58	19900406	
AU	6316	32		B2	19921	1203							
EP	4221	54		A1	19910	1417		EP	1990	-905	482	19900406	
EP	4221	54		В1	19931	1201							
	R:	AT,	BE,	CH, I	DE, DK,	ES,	FR,	GB, 1	IT, L	I, L	U, NL,	SE	
JP	0350	5881		T2	19911	1219		JP	1990	-505	770	19900406	
JP	06069	9963		B4	19940	907							
ΑŤ	9780	3		E	19931	1215		AT	1990	-905	482	19900406	
ES	2061	024		T3	19941	201		ES	1990	-905	482	19900406	
ZA	9003	015		Α	19911	1224		ZΑ	1990	- 301	5	19900420	
US	55300	800		Α	19960	625		US	1994	-187	413	19940124	
PRIORITY	APP	LN. I	NFO.	:			G	B 198	9-91	47		19890421	
							G	B 198	19-16	602		19890720	
							E	P 199	0-90	5482		19900406	
							¥	0 199	0-EP	540		19900406	
							U	s 199	0-63	5156		19901219	

5-HT3 receptor antagonists are useful in treating panic disorders and/or agoraphobia or obsessive compulsive disorders. Formulations for tablets, i.v. solns. and capsules are presented. 135716-73-3

RL: BIOL (Biological study) (5-HT3 receptor antagonist)

135716-73-3 CAPLUS
1(2H)-Phenanthrenone, 3,4-dihydro-2-[(5-methyl-1H-imidazol-4-yl)methyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS 1990:198377 CAPLUS 112:198377 ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

Preparation and formulation of imidazole derivatives as 5-HT3 receptor antagonists

INVENTOR(S): North, Peter Charles: Oxford, Alexander William; Coates, Ian Harold

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

Eur. Pat. Appl., 12 pp. CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A1 19891011 EP 1989-303415 19890406 EP 336759 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
JP 02049772 AZ 19900220 JP 1989-87841 1989
US 5116984 A 19920526 US 1989-333967 1989
RITY APPLN. INFO.: GB 1988-8085 1988 19890406 19890406 PRIORITY APPLN. INFO.: GB 1988-8086 MARPAT 112:198377 19880407

OTHER SOURCE(S):

Title compds. I (R1,R2 = H, halo, H0, C1-4 alkoxy, C1-4 alkyl, C1-4 alkylthio, R3R4N, R3, R4 = H, C1-4 alkyl, R3R4N = satd. 5-7-membered ring; A = CH, N; Im = substituted imidazolyl; n = 1-3) and physiol. acceptable salts and solvates thereof, potent and selective antagonists of 5-HT3 receptors and useful, e.g., in treatment of psychotic disorders, anxiety, and nausea and vomiting (no data), are prepd. 1,2-Dihydro-3-[[5-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]methylene]-4(3H)-phenanthrenone (prepn given) was dehydrogenated over Pd/C to give I (R1, R2 = H; A = CH; Im = 5-methylimidazol-4-yl; n = 2) which was converted to the maleate. Tablet and injection formulations were given.

RL: SPN (Synthetic preparation): PREP (Preparation)

(prepn. of, as 5-HT antagonist) 126737-68-6 CAPLUS

4(1H)-Phenanthrenone, 2,3-dihydro-3-[(5-methyl-1H-imidazol-4-yl)methyl]-,

CRN 126737-65-3 CMF C19 H18 N2 O

(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS

CH 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

126737-65-3P

RL: SPN (Synthetic preparation): PREP (Preparation)

(prepn. of, as HT3-receptor antagonist)
126737-65-3 CAPLUS

4(1H)-Phenanthrenone, 2,3-dihydro-3-{(5-methyl-1H-imidazol-4-yl)methyl}-(9CI) (CA INDEX NAME)

9815362Page 51 02/03/2003

L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS 1990:139033 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 112:139033

Preparation of imidazole derivatives as drugs TITLE: Kihara, Noriaki: Tomino, Ikuo: Tan, Hiroaki: Takei, INVENTOR (S): Mitsusachi

Mitsui Petrochemical Industries, Ltd., Japan PATENT ASSIGNEE(S):

Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF SOURCE:

DOCUMENT TYPE: Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. JP 1988-65731 JP 01242571 A2 19890927 19880322 PRIORITY APPLN. INFO.: JP 1988-65731 MARPAT 112:139033 OTHER SOURCE(S):

The title derivs. I or II (Rl = H, Ph; R2-R5 = H, OH, lower alkyl, lower alkoxy, lower alkylamino, halo: R2-R5 may be bonded to from rings: R6, R7 = H, lower alkyl, halo: X = O, S), useful as cerebral function improvers, antihypertensives, diuretics, etc. (no data), are prepd. by acid-catalyzed reaction of (hydroxymethyl)imidazoles III or their acid salts with benzenes IV or 5-membered heterocycle V. Thus, aq. III.HCl (Rl = H) was treated with 1,3,5-C6H3Me3 and 4-MeC6H4SO3H at 170.degree. for 7 h to give 761 I (Rl = R2 = H, R3-R5 = 2,4,6-Me3).

125883-69-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as drug)
125883-69-4 CAPLUS
1H-Imidazole, 4-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1979:168771 CAPLUS

DOCUMENT NUMBER: 90:168771

Photochemical reactions. Photochemistry of N-acylimidazoles. V. Photolysis of the N-acylimidazoles of dehydroabletic acid and of TITLE: 13-deisopropy1-10-epi-dehydroabietic acid Iwasaki, Shigeo

AUTHOR (5):

CORPORATE SOURCE: Org.-Chem. Lab., ETH, Zurich, Switz. Helvetica Chimica Acta (1978), 61(8), 2843-50 CODEN: HCACAV, ISSN: 0018-019X SOURCE:

DOCUMENT TYPE:

LANGUAGE: GI

Journal

Irradn. of I gave no Type II elimination, but gave II and III by migration of the imidazolylcarbonyl group, probably via a cyclobutanol intermediate. Similarly, irradn. of IV gave only a small amt. of Type II fragmentation, AB the main products being derived from .gamma.-H abstraction. 69634-29-3P

RL: SPN (Synthetic preparation): PREP (Preparation)

(prepn. of) 69634-29-3 CAPLUS

Methanone, 1H-imidazol-4-yl[4b, 5, 6, 7, 8, 8a, 9, 10-octahydro-4b, 8-dimethyl-2-(1-methylethyl)-9-phenanthrenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1973:515495 CAPLUS

DOCUMENT NUMBER:

79:115495 Synthesis of small molecule catalysts. Model for the TITLE:

active site of ribonuclease-A

AUTHOR(S): CORPORATE SOURCE:

Algieri, Aldo A.
Cornell Univ., Ithaca, NY, USA
(1973) 116 pp. Avail.: Univ. Microfilms, Ann Arbor,
Mich., Order No. 73-14,715 SOURCE:

From: Diss. Abstr. Int. B 1973, 33(12)(Pt. 1), 5722

DOCUMENT TYPE: Dissertation English

LANGUAGE:

AB Unavailable IT 49738-45-6 RL: RCT (Reactant): RACT (Reactant or reagent)

(as model for the active site of ribonuclease A)

49738-45-6 CAPLUS
1H-Imidazole-4-ethanamine, N-[[3-(lH-imidazol-4-ylmethyl)-l-naphthalenyl)methyl}-, conjugate diacid (9CI) (CA INDEX NAME)

●2 H+

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1972:501463 CAPLUS DOCUMENT NUMBER: 77:101463

Voges-Proskauer reaction. II. Structure of a pigment from the diacetyl reaction of 1-benzyl-1-

methylguanidine

metnyiguanidine
Nishimura, Tamior Yamazaki, Chijir Ueno, Tetsuror
Xitajima, Shinichir Ishige, Koichi
Sch. Hyg. Sci., Kitasato Univ., Tokyo, Japan
Bulletin of the Chemical Society of Japan (1972), AUTHOR(S):

CORPORATE SOURCE: SOURCE:

45(6), 1782-5 CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal LANGUAGE: English

1-Naphthalenol, 2-[[5-methyl-2-[methyl(phenylmethyl)amino]-1H-imidazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

9815362Page 53 02/03/2003

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	150.78	299.14
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-20.83	-20.83

STN INTERNATIONAL LOGOFF AT 07:05:47 ON 03 FEB 2003

L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:358249 CAPLUS

DOCUMENT NUMBER: 125:75343

TITLE: Synthesis and evaluation of azole-substituted

tetrahydronaphthalenes as inhibitors of P450 arom,

P450 17 and P450 TxA2

AUTHOR(S): Hartmann, Rolf W.; Frotscher, Martin; Ledergerber,

Dorothea; Waechter, Gerald A.; Gruen, Gertrud L.;

Sergejew, Tom F.

CORPORATE SOURCE: Fachrichtung 12.1 Pharmazeutische Chemie, Univ.

Saarlandes, Saarbruecken, D-66041, Germany

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996),

329(5), 251-261

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English

In search of potential drugs for the treatment of estrogen- and AB androgen-dependent cancer as well as the prophylaxis of metastases, tetralones, tetralins, and dihydronaphthalenes bearing of OCH3 substituent at the benzene nucleus and an imidazol-4-yl, imidazol-1-yl, or 1,2,4-triazol-1-yl substituents in 2-position were synthesized with and without C2-spacer between the rings. The compds. were tested in vitro for inhibition of the three target enzymes P 450 arom (human placental microsomes), P 450 17 (rat testicular microsomes), and P 450 TxA2 (citrated human whole blood). To examine selectivity, some compds. were further tested in vitro for inhibition of P 450 18 (bovine adrenal mitochondrial), P 450 scc (bovine adrenal mitochondrial) and corticoid formation (aldosterone, corticosterone; ACTH stimulated rat adrenal tissue). In vivo, selected compds. were examd. in Sprague Dawley rats regarding P 450 TxA2 inhibition, redn. of plasma testosterone concn., antiuterotropic activity (inhibition of the uterotropic activity of androstenedione), redn. of plasma estradiol concn. (pregnant mares' serum gonadotropin-primed rats), and mammary tumor inhibiting activity (dimethylbenzanthracene-induced tumor; pre- and postmenopausal model). In the series of imidazol-4-yl compds., which represent new azole inhibitors of steroidogenic P 450 enzymes, strong inhibitors of P 450 arom and/or P 450 17 were found; 7-OCH3-2-(imidazol-4-ylmethylene)-1-tetralone (I) and 7-OCH3-2-(imidazol-4-ylmethyl)-tetralin (II) are among the most potent inhibitors of P 450 arom in vitro know so far. I is a selective inhibitor, whereas II shows in addn. strong inhibition of P 450 17. In contrast to II, the 6-OCH3 deriv. is a selective inhibitor of P 450 17, being 50 times more potent than ketoconazole. Some imidazol-1-yl compds. show a marked inhibition of P 450 TxA2: 2-(imidazol-1-ylmethyl)-1tetralone is a selective inhibitor of P 450 TxA2, whereas 7-OCH3-2-(imidazol-1-ylmethyl)-tetralin as well as 2-(imidazol-1-ylmethyl)tetralin and 7-OCH3-2-imidazol-1-yl-3,4-dihydronaphthalene addnl. show strong inhibition of P 450 arom and P 450 17. Structure-activity relations are discussed. Regarding the other steroidogenic P 450 enzymes as well as corticosterone formation, the compds. show only slight inhibitory activity. Aldosterone formation, however, is inhibited at low concns. Nevertheless, I and II are more selective, i.e. inhibit aldosterone synthesis less than the well known inhibitor of P 450 arom fadrozole. The compds. show activity in the aforementioned in vivo tests.

IT 157058-44-1P 157058-45-2P 157058-46-3P 157058-47-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis and evaluation of azole-substituted tetrahydronaphthalenes as inhibitors of human and lab. animal cytochrome P 450 enzymes in relation to structure and hormone formation and uterotropic activity and mammary tumor inhibition)

RN 157058-44-1 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)

$$CH_2$$
 H_N
 N

RN 157058-45-2 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-5-methoxy-(9CI) (CA INDEX NAME)

RN 157058-46-3 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-6-methoxy-(9CI) (CA INDEX NAME)

$$CH_2$$
 N
 N

RN 157058-47-4 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

157058-52-1P 157058-53-2P 157058-55-4P 178880-06-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and evaluation of azole-substituted tetrahydronaphthalenes as inhibitors of human and lab. animal cytochrome P 450 enzymes in relation to structure and hormone formation and uterotropic activity and mammary tumor inhibition)

RN 157058-52-1 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 N
 N

RN 157058-53-2 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-5-methoxy-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

$$CH_2$$
 N
OMe

RN 157058-55-4 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

RN 178880-06-3 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 157058-54-3 CMF C15 H18 N2 O

9815362Page 4 02/03/2003

$$CH_2$$
 N
 N
 N

CM 2

CRN 144-62-7 CMF C2 H2 O4

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:534112 CAPLUS

DOCUMENT NUMBER: 121:134112

TITLE: Preparation of imidazolylmethylenetetralones and

analogs as aromatase inhibitors

INVENTOR(S): Hartmann, Rolf W.; Wachter, Gerald Anton

PATENT ASSIGNEE(S): Tokyo Tanabe Co. Ltd., Japan

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					ΝD	DATE			A	PPLI	CATI	0.	DATE								
	WO	9407866						O 9407866 Al 19			19940414			WO 1993-JP1433					19931006			
		W:	ΑU,	BB,	BG,	BR,	CA,	CZ,	FI,	HU,	KR,	LK,	MG,	MN,	MW,	NO,	NZ,	PL,				
			RO,	RU,	SD,	SK,	UA,	US														
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,				
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG						
	AU	9351	184		A.	1	1994	0426		A	U 19	93-5	1184		1993	1006						
	JP	0619	2233		A.	2	1994	0712		J	P 19	93-2	5025	7	1993	1006						
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									Ţ	WO 1	993-	JP14	33	W	1993	1006						

OTHER SOURCE(S): M

MARPAT 121:134112

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GΙ

$$R \xrightarrow{X \quad Y \quad Z} N \\ \text{(CH2)} N \\ \text{H}$$

The title compds. I [R represents hydrogen, C1-C4 lower alkoxy, nitro or C1-C4 lower alkoxycarbonyl; when X and Y represent each hydrogen or X and Y are combined together to represent oxygen, Z represents hydrogen and the broken line represents an arbitrary bond; when X represents hydrogen, Y and Z are combined together to represent a single bond; n represents an integer of 0 or 1] are prepd. A mixt. of 1-tetralone and imidazole-4-carbaldehyde in 40% H2SO4 was heated for 20 h at 80-90.degree. to give, after workup, (E)-2-(4-imidazolylmethylene)-1-tetralone (II). II in vitro showed IC50 of 0.260 .mu.M against aromatase.

157058-44-1P 157058-45-2P 157058-46-3P 157058-47-4P 157058-52-1P 157058-53-2P

157058-54-3P 157058-55-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as aromatase inhibitor)

RN 157058-44-1 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)

P1 is 0x0

R² a R³ from anonsatuated ring

R₆ = H

5=0

T=0

RN 157058-45-2 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-5-methoxy-(9CI) (CA INDEX NAME)

RN 157058-46-3 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-6-methoxy-(9CI) (CA INDEX NAME)

$$CH_2$$
 N

RN 157058-47-4 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-2-(1H-imidazol-4-ylmethyl)-7-methoxy-(9CI) (CA INDEX NAME)

MeO
$$CH_2$$
 N

RN 157058-52-1 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 N
 N

$$CH_2$$

RN 157058-53-2 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-5-methoxy-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

RN 157058-54-3 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \end{array}$$

RN 157058-55-4 CAPLUS

CN 1H-Imidazole, 4-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)methyl](9CI) (CA INDEX NAME)

MeO
$$CH_2$$
 N